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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 42	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 43	Jun 06	PASCAL enhanced with additional data
NEWS 44	Jun 20	2003 edition of the FSTA Thesaurus is now available

```
 NEWS 45  Jun 25  HSDB has been reloaded

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 13:17:49 ON 03 JUL 2003

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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STRUCTURE FILE UPDATES:    2 JUL 2003  HIGHEST RN 541497-70-5
DICTIONARY FILE UPDATES:   2 JUL 2003  HIGHEST RN 541497-70-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s 'gly-rop-arg'/sqsfp
ROP IS NOT A VALID 3 LETTER AMINO ACID SYMBOL

=> s 'gly-pro-arg'/sqsfp
L1     1971656 'GLY-PRO-ARG'/SQSFP
<------User Break------>

SEARCH ENDED BY USER
L1   HAS NO ANSWERS

=> s 'gly-pro-arg'/sqefp
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          150 'GLY''PRO''ARG'/SQEFP
         1449 SQL=3
L2        150 'GLY-PRO-ARG'/SQEFP
               ('GLY''PRO''ARG'/SQEFP AND SQL=3)

=> fil .search
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     7.90       8.11

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FILE 'CAPLUS' ENTERED AT 13:20:25 ON 03 JUL 2003
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FILE 'BIOSIS' ENTERED AT 13:20:25 ON 03 JUL 2003
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FILE 'USPATFULL' ENTERED AT 13:20:25 ON 03 JUL 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'EMBASE' ENTERED AT 13:20:25 ON 03 JUL 2003
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=> s l2
L3           94 L2

=> s l3 and (chelat? or ligand?)
L4           37 L3 AND (CHELAT? OR LIGAND?)

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5           37 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib ab hitstr 1-
YOU HAVE REQUESTED DATA FROM 37 ANSWERS - CONTINUE? Y/(N):y
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L5 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:435053 CAPLUS
DOCUMENT NUMBER: 139:12393
TITLE: Stabilization of radiopharmaceutical compositions using hydrophilic 6-hydroxychromans
INVENTOR(S): Cyr, John E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of Appl. No. PCT/US01/50423.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003103899	A1	20030605	US 2002-131346	20020424
WO 2002060491	A2	20020808	WO 2001-US50423	20011024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-695360 A2 20001024
WO 2001-US50423 A2 20011024
US 2000-694992 A1 20001024
US 2000-695494 A1 20001024

AB A compn. comprising a peptide or non-peptide radiopharmaceutical precursor and a stabilizing amt. of a hydrophilic 6-hydroxychroman deriv., e.g., 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid (Trolox), is described. A kit comprising a sealed vial contg. a predetd. quantity of a radiopharmaceutical precursor and a stabilizing amt. of a hydrophilic 6-hydroxychroman deriv. is also described. For example, Trolox increased the radiolabeling yield and the stability of 99mTc depreotide prepd. from the kit.

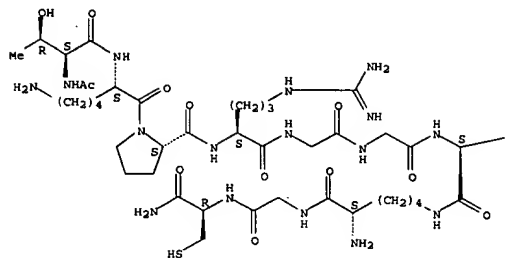
IT **445311-35-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilization of radiopharmaceutical precursors by hydrophilic hydroxychromans)

RN 445311-35-3 CAPLUS
CN L-Cysteinamide, N6-[N2,N6-bis(N-acetyl-L-threonyl-L-lysyl-L-prolyl-L-arginylglycylglycyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

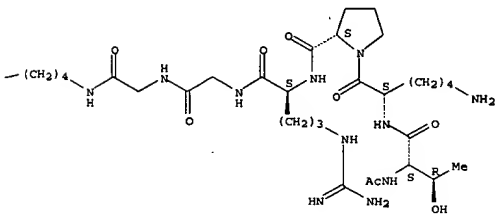
Absolute stereochemistry.

L5 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L5 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:435052 CAPLUS
DOCUMENT NUMBER: 139:12392
TITLE: Stabilization of radiopharmaceutical compositions using hydrophilic thioethers and hydrophilic 6-hydroxychromans
INVENTOR(S): Cyr, John E.; Pearson, Daniel A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of Appl. No. PCT/US01/50423.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003103895	A1	20030605	US 2002-131546	20020424
WO 2002060491	A2	20020808	WO 2001-US50423	20011024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-695494 A2 20001024
WO 2001-US50423 A2 20011024
US 2000-694992 A1 20001024
US 2000-695360 A1 20001024

AB A compn. contg. a peptide or non-peptide radiopharmaceutical precursor and a stabilizing amt. of a mixt. of a hydrophilic thioether and a hydrophilic 6-hydroxychroman deriv. is described. The thioether is selected from, e.g., methionine, ethionine, 3-(methylthio)propionaldehyde, 2-(ethylthio)ethylamine, buthionine, S-methyl-cysteine, and methioninol. The hydrophilic 6-hydroxychroman used is, e.g., 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid or 6-hydroxy-2,5,7,8-tetramethylchroman-2-glucosamine. A kit comprising a sealed vial contg. a predetd. quantity of a radiopharmaceutical precursor and a stabilizing amt. of a mixt. of a hydrophilic thioether and a hydrophilic 6-hydroxychroman deriv. is also described. For example, the combination of L-methionine and Trolox increased the radiolabeling yield and the stability of 99mTc depreotide prepd. from the kit.

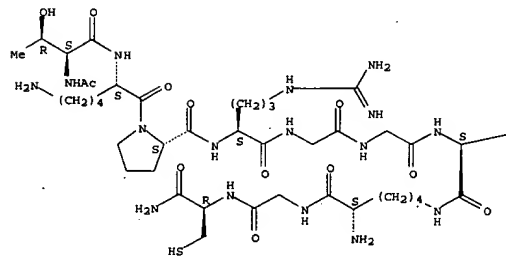
IT **445311-35-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilization of radiopharmaceutical precursors by hydrophilic thioethers and hydrophilic 6-hydroxychromans)

RN 445311-35-3 CAPLUS
CN L-Cysteinamide, N6-[N2,N6-bis(N-acetyl-L-threonyl-L-lysyl-L-prolyl-L-arginylglycylglycyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

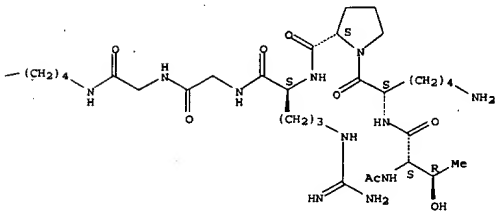
Absolute stereochemistry.

L5 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L5 ANSWER 3 OF 37 USPATFULL
ACCESSION NUMBER: 2003:105799 USPATFULL
TITLE: Stabilization of radiopharmaceutical compositions using hydrophilic thioethers
INVENTOR(S): Cyr, John E., Bedford, NH, UNITED STATES
Pearson, Daniel A., Bedford, NH, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003072709	A1	20030417
APPLICATION INFO.:	US 2002-131543	A1	20020424 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-694992, filed on 24 Oct 2000, PENDING Continuation-in-part of Ser. No. WO 2001-US50423, filed on 24 Oct 2001, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: FISH & RICHARDSON P.C., 45 ROCKEFELLER PLAZA, SUITE 2800, NEW YORK, NY, 10111
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
LINE COUNT: 1361
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

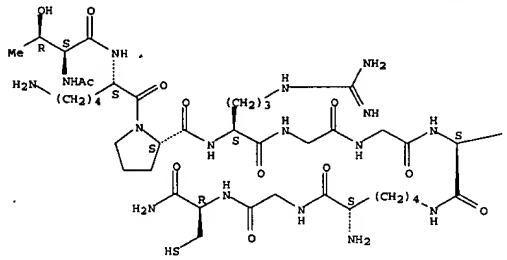
AB Radiopharmaceutical compositions which are stabilized by addition of a hydrophilic thioether.

IT **445311-35-3**
(stabilization of radiopharmaceutical compns. using hydrophilic thioethers)

RN 445311-35-3 USPATFULL
CN L-Cysteinamide, N6-[N2,N6-bis(N-acetyl-L-threonyl-L-lysyl-L-prolyl-L-arginylglycylglycyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

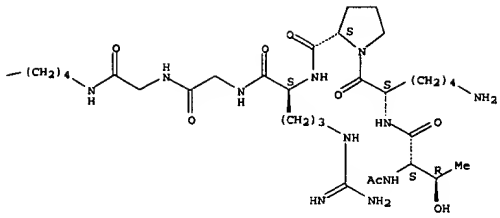
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 3 OF 37 USPATFULL (Continued)

PAGE 1-B



L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:159727 CAPLUS
DOCUMENT NUMBER: 138:331165
TITLE: Quantitative Analysis of Permeation Peptide Complexes Labeled with Technetium-99m: Chiral and Sequence-Specific Effects on Net Cell Uptake
AUTHOR(S): Gammon, Seth T.; Villalobos, Victor M.; Prior, Julie L.; Sharma, Vijay; Piwnica-Worms, David
CORPORATE SOURCE: Department of Molecular Biology and Pharmacology, Washington University Medical School, Molecular Imaging Center Mallinckrodt Institute of Radiology, Staint Louis, MO, 63110, USA
SOURCE: Bioconjugate Chemistry (2003), 14(2), 368-376 CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study investigated sequence-specific cell uptake characteristics of Tat basic domain and related permeation peptides with an emphasis on residue chirality, length, and modified side chains. Effects on cell permeation of defined basic domain sequences within a library of 42 different peptides were evaluated using transport of radiolabeled peptides into human Jurkat leukemia cells. All other factors being equal, when the chirality of the peptide sequence was changed from L to D, uptake values increased up to 13-fold. Control expts. showed that the quant. difference in uptake could not be attributed to increased decompn. of an L- vs. a D-peptide by cellular or serum proteases. Furthermore, length, sequence, and type of **chelation** domain impacted peptide uptake into cells. The highest level of uptake was found with the following peptides: (23) D-Tat-Orn [Ac-rkkrr-orn-rrr-AHA-kgc-amide] and (33) D-poly-Arg9 [Ac-rrrrrrrrr-AHA-kgc-amide]. The best of these peptide sequences could be employed as in vivo imaging and drug delivery agents to translocate substrates into cells.

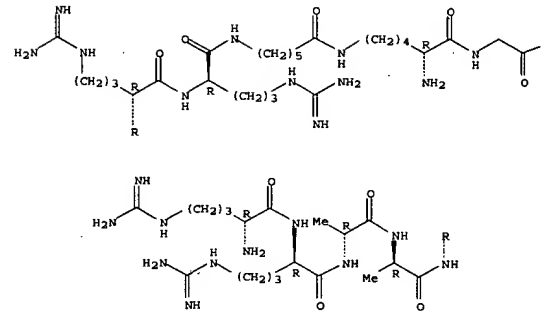
IT **518052-21-6D**, reaction with biotin, technetium complexes
518052-22-7D, reaction with biotin, technetium complexes
518052-23-8D, reaction with biotin, technetium complexes
518052-24-9D, reaction with biotin, technetium complexes
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chiral and sequence-specific effects in net cell uptake of peptide complexes labeled with Technetium-99m)

RN 518052-21-6 CAPLUS
CN D-Cysteinamide, N6-(D-arginyl-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-6-aminohexanoyl)-D-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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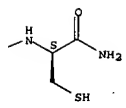
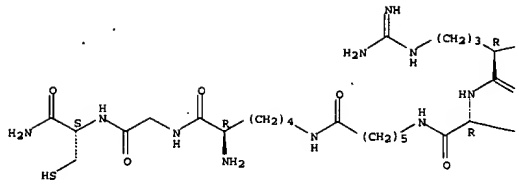


RN 518052-22-7 CAPLUS
CN D-Cysteinamide, N6-(D-alanyl-D-arginyl-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-6-aminohexanoyl)-D-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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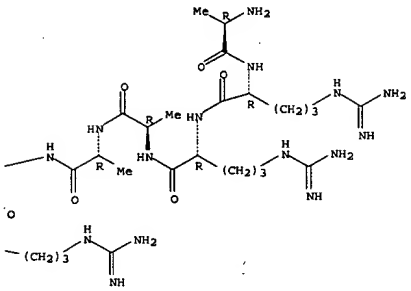
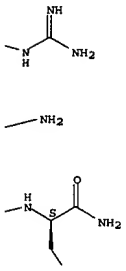


RN 518052-23-8 CAPLUS
CN D-Cysteinamide, N6-(D-alanyl-D-alanyl-D-arginyl-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-6-aminohexanoyl)-D-lysylglycyl- (9CI) (CA

L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



PAGE 2-B

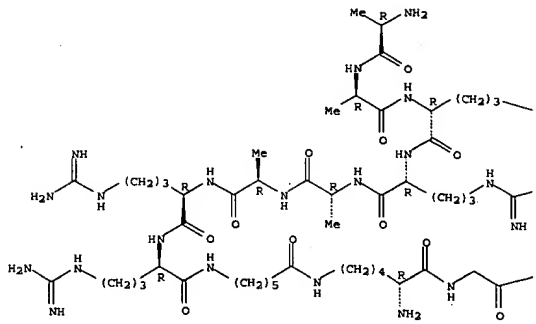
RN 518052-24-9 CAPLUS
CN D-Cysteinamide, N6-(D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-6-aminohexanoyl)-D-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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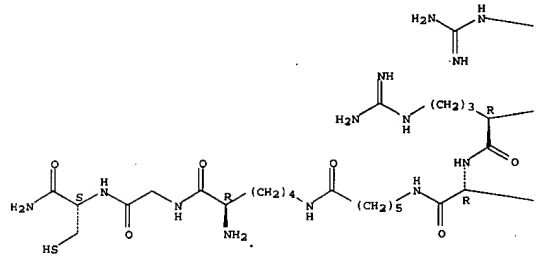

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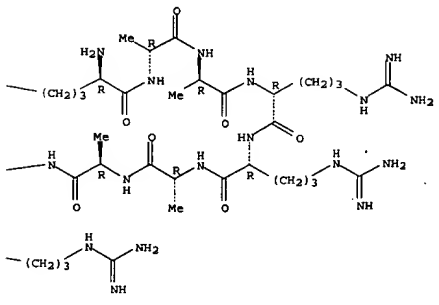

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594711 CAPLUS
DOCUMENT NUMBER: 137:159312
TITLE: Stabilization of radiopharmaceutical compositions using hydrophilic thioethers and hydrophilic 6-hydroxy chromans
INVENTOR(S): Cyr, John E.; Pearson, Daniel A.
PATENT ASSIGNEE(S): Diatide, Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060491	A2	20020808	WO 2001-US50423	20011024
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003072709	A1	20030417	US 2002-131543	20020424
US 2003103899	A1	20030605	US 2002-131546	20020424
US 2003103895	A1	20030605	US 2002-131546	20020424

PRIORITY APPLN. INFO.: US 2000-694992 A1 20001024
US 2000-695360 A1 20001024
US 2000-695494 A1 20001024
WO 2001-US50423 A2 20011024

AB Radiopharmaceutical compns. which are stabilized by addn. of a hydrophilic thioether, a hydrophilic 6-hydroxy-chroman deriv., or a mixt. of a hydrophilic thioether and a hydrophilic 6-hydroxy-chroman deriv. are described. Several examples are provided demonstrating the stabilizing effects of L-methionine, Trolox, or a combination of the two on lyophilized kit prepns. contg. 99mTc-labeled depreotide, benzodiazepinedione deriv., a glycoprotein IIb/IIa receptor-binding peptide, a peptide **chelator**, a bisamine bisthiol **chelator**, or other peptides.

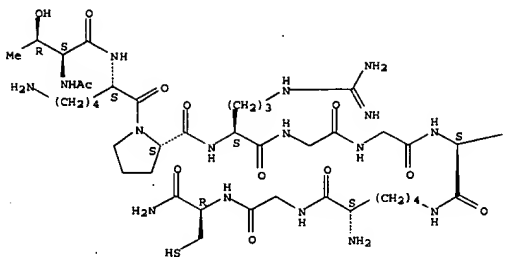
IT **445311-35-3D**, radiolabeled
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilization of radiopharmaceutical compns. using hydrophilic thioethers and hydrophilic hydroxychromans)

RN 445311-35-3 CAPLUS
CN L-Cysteinamide, N6-[N2,N6-bis(N-acetyl-L-threonyl-L-lysyl-L-prolyl-L-arginylglycylglycyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

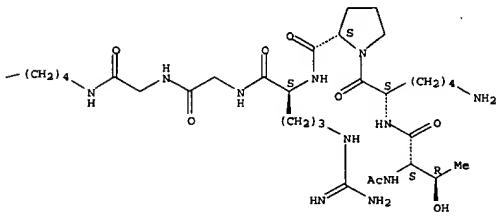
Absolute stereochemistry.

L5 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L5 ANSWER 6 OF 37 USPATFULL
ACCESSION NUMBER: 2002:329422 USPATFULL
TITLE: Cascade polymer complexes, process for their production and pharmaceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Berlin, GERMANY, FEDERAL REPUBLIC OF
Platzek, Johannes, Berlin, GERMANY, FEDERAL REPUBLIC OF
Raduchel, Bernd, Berlin, GERMANY, FEDERAL REPUBLIC OF
Muhler, Andreas, Neuenhagen, GERMANY, FEDERAL REPUBLIC OF
Frenzel, Thomas, Berlin, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Schering AG, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002187101	A1	20021212
APPLICATION INFO.:	US 2002-138651	A1	20020506 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-620989, filed on 20 Jul 2000, GRANTED, Pat. No. US 6426059 Division of Ser. No. US 1998-44254, filed on 19 Mar 1998, GRANTED, Pat. No. US 6177060 Division of Ser. No. US 1996-674844, filed on 3 Jul 1996, GRANTED, Pat. No. US 5820849

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19525924	19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 2106
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cascade polymer complexes with at least 16 ions of an element of atomic numbers 20 to 29, 39, 42, 44 or 57-83, useful NMR or x-ray lymphography imaging.

IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-

L5 ANSWER 6 OF 37 USPATFULL (Continued)
lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 7 OF 37 USPATFULL

ACCESSION NUMBER: 2002:188111 USPATFULL
TITLE: Cascade polymer complexes, process for their production
and pharmaceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Gorrestrasse 20, D-12161 Berlin, GERMANY, FEDERAL REPUBLIC OF
Platzek, Johannes, Grottkauer Str. 55, D-12621 Berlin, GERMANY, FEDERAL REPUBLIC OF
Raduchel, Bernd, Gollanczstrasse 132, D-13465 Berlin, GERMANY, FEDERAL REPUBLIC OF
Muhler, Andreas, Fontanestrasse 21A, D-15366 Neuenhagen, GERMANY, FEDERAL REPUBLIC OF
Frenzel, Thomas, Paul-Schneider-Strasse 41, D-12247 Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6426059	B1	20020730
APPLICATION INFO.:	US 2000-620989		20000720 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-44254, filed on 19 Mar 1998, now patented, Pat. No. US 6177060 Division of Ser. No. US 1996-674844, filed on 3 Jul 1996, now patented, Pat. No. US 5820849		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19525924	19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Hartley, Michael G.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1946
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cascade polmer complexes with at least 16 ions of an element of atomic numbers 20 to 29, 39, 42, 44 or 57-83, useful NMR or x-ray lymphography imaging.

IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 USPATFULL

CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 USPATFULL

CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-

L5 ANSWER 7 OF 37 USPATFULL (Continued)

tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:694638 CAPLUS
DOCUMENT NUMBER: 137:366262
TITLE: Inhibition of adhesion of type 1 fimbriated Escherichia coli to highly mannosylated **ligands**
AUTHOR(S): Nagahori, Noriko; Lee, Reiko T.; Nishimura, Shin-Ichiro; Page, Daniel; Roy, Rene; Lee, Yuan C.
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry & Glycoclusters, Division of Biological Sciences, Graduate School of Science, Hokkaido University, Sapporo, 060-0810, Japan
SOURCE: ChemBioChem (2002), 3(9), 836-844
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The inhibitory potencies of a no. of mannosides, di- and trivalent mannosides, a set of mannose-terminating dendrimers, and five types of mannose-bearing neoglycoproteins were detd. by using a binding assay that measures the binding of 125I-labeled, highly mannosylated neoglycoprotein to a type 1 fimbriated Escherichia coli (K12) strain in suspension. The IC50 values (the concn. of inhibitor that causes 50% redn. in the bound 125I-**ligand** to E. coli) obtained by this method were much lower than the equiv. values obtained by hemagglutination or in assays that involve microplate immobilization. Two important factors that strongly influence the affinity to E. coli adhesin are: 1) the presence of an .alpha.-oriented aglycon that has a long aliph. chain or an arom. group immediately next to the glycosyl oxygen, and 2) the presence of multiple mannosyl residues that can span a distance of 20 nm or longer on a relatively inflexible structure. The two best inhibitors, which are a highly mannosylated neoglycoprotein with the longest linking arm between a
mannose and protein amino group and the largest mannosylated dendrimer (fourth generation), exhibited sub-nM IC50 values.

IT **187147-04-2 187147-06-4 187284-90-8**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibition of adhesion of type 1 fimbriated Escherichia coli to highly
mannosylated **ligands**)

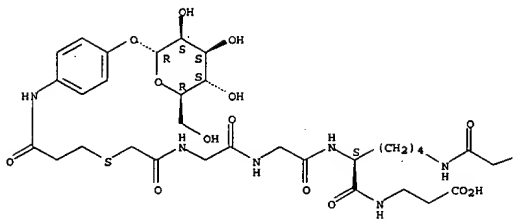
RN 187147-04-2 CAPLUS

CN .beta.-Alanine, N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl- (9CI) (CA INDEX NAME)

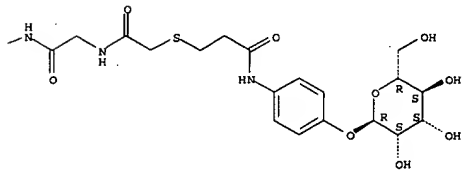
Absolute stereochemistry.

L5 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



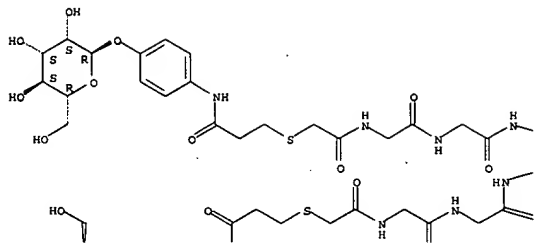
RN 187147-06-4 CAPLUS

CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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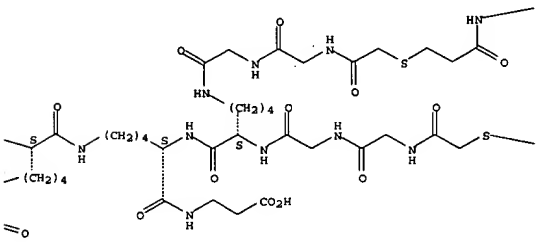
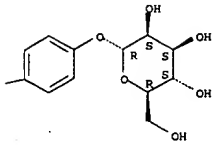
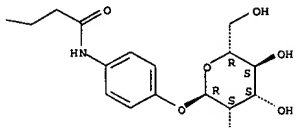


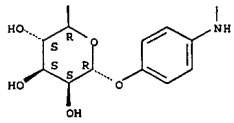
L5 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C





PAGE 2-A



PAGE 2-C

RN 187284-90-8 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[3-[[4-
(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylg
lycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L5 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:816499 CAPLUS
DOCUMENT NUMBER: 135:376735
TITLE: Membrane-permeant peptide complexes for medical imaging, diagnostics, and pharmaceutical therapy
INVENTOR(S): Piwnica-Worms, David
PATENT ASSIGNEE(S): Washington University, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082975	A2	20011108	WO 2001-US13179	20010424
WO 2001082975	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1294409	A2	20030326	EP 2001-928805	20010424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-557465 A 20000425
WO 2001-US13179 W 20010424

AB Methods and compns. for medical imaging, evaluating intracellular processes and components, radiotherapy of intracellular targets, and drug delivery by the use of novel cell membrane-permeant peptide conjugate coordination and covalent complexes having target cell specificity are provided. Kits for conjugating radionuclides and other metals to peptide coordination complexes are also provided.

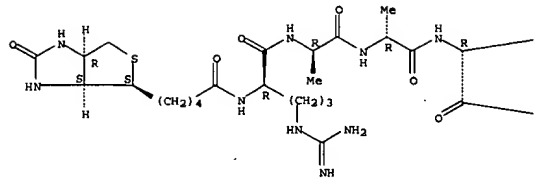
IT **371918-29-5**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(membrane-permeant peptide complexes for medical imaging, diagnostics, and pharmaceutical therapy)

RN 371918-29-5 CAPLUS
CN D-Cysteinamide, N6-[N2-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-D-alanyl-D-alanyl-D-arginyl-D-arginyl-6-aminohexanoyl]-D-lysylglycyl- (9CI) (CA INDEX NAME)

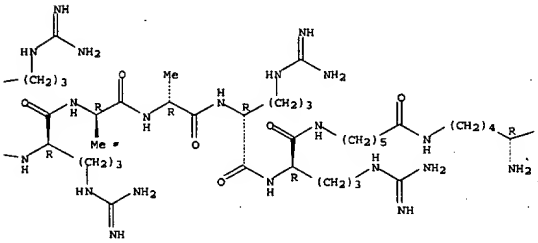
Absolute stereochemistry.

L5 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

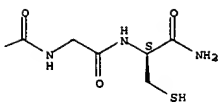


PAGE 1-B



L5 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



L5 ANSWER 10 OF 37 USPATFULL

ACCESSION NUMBER: 2001:191246 USPATFULL
TITLE: Method for synthesis of proteins
INVENTOR(S): Tam, James P., Nashville, TN, United States
PATENT ASSIGNEE(S): Vanderbilt University, Nashville, TN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6310180	B1	20011030
APPLICATION INFO.:	US 1995-492411		19950619 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-490932, filed on 16 Jun 1995, now abandoned Continuation-in-part of Ser. No. US 1994-263936, filed on 21 Jun 1994, now abandoned Continuation-in-part of Ser. No. US 1993-81412, filed on 21 Jun 1993, now patented, Pat. No. US 5589356
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Venkat, Jyothsna
ASSISTANT EXAMINER: Garcia, Maurie E.
LEGAL REPRESENTATIVE: Klauber & Jackson
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 37 Drawing Figure(s); 37 Drawing Page(s)
LINE COUNT: 3427
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for peptide synthesis is disclosed that requires neither protecting groups nor activation of the C-.alpha. carboxyl groups. The method comprises ligating a first molecule to a second molecule by promoting the orthogonal coupling of the molecules to each other. In an aspect of this method, an acyl-type reaction occurs between the molecules. The method contemplates the joining of molecules of variant size to each other, as well as the coupling of multiple identical molecules. The invention also covers the ligation of unprotected peptide, proteins or nonpeptide segments to prepare therapeutic products and synthetic vaccines with linear, circularized, or branched backbone structures, as well as the site-specific modification of peptides or proteins by lipidation and pegylation.

IT **162261-12-3P 163479-45-6P 163479-46-7P**
(method for synthesis of proteins)

RN 162261-12-3 USPATFULL
CN L-Alaninamide, 2-carboxy-4-thiazolidinecarbonyl-L-asparaginyl-L-threonyl-L-asparaginyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-isoleucyl-L-histidyl-L-isoleucyl-L-prolylglycyl-L-prolyl-L-arginyl-, (1.fwdarw.1'''')-(1'.fwdarw.1'''')-(1''.fwdarw.1''''')-(1'''.fwdarw.1''''')-tetraamide with N2,N6-di-L-lysyl-L-lysyl-.beta.-alanine (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

RN 163479-45-6 USPATFULL
CN L-Alaninamide, 2-carboxy-4-thiazolidinecarbonyl-L-asparaginyl-L-threonyl-L-asparaginyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-isoleucyl-L-histidyl-L-isoleucyl-L-prolylglycyl-L-prolyl-L-arginyl-, 1,1',1'',1''',1'''',1''''',1'''''',1''''''',1'''''''-octaamide with

L5 ANSWER 10 OF 37 USPATFULL (Continued)

N2,N6-bis(N2,N6-di-L-lysyl-L-lysyl)-L-lysyl-.beta.-alanine (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

RN 163479-46-7 USPATFULL
CN L-Arginine, N-[4-[(carboxymethylene)hydrazino]benzoyl]-L-seryl-L-seryl-L-glutaminyl-L-phenylalanyl-L-glutaminyl-L-isoleucyl-L-histidylglycyl-L-prolyl-, 1,1',1'',1''',1'''',1''''',1'''''',1''''''',1'''''''-octaamide with N2,N6-bis(N2,N6-di-L-lysyl-L-lysyl)-L-lysyl-.beta.-alanine (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 11 OF 37 USPATFULL
ACCESSION NUMBER: 2001:55451 USPATFULL
TITLE: Polyoxime compounds and their preparation
INVENTOR(S): Rose, Keith, Geneva, Switzerland
Offord, Robin E., Croix-de-Rozon, Switzerland
PATENT ASSIGNEE(S): Gryphon Sciences, South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6217873	B1	20010417	
	WO 9425071		19941110	
APPLICATION INFO.:	US 1996-537928		19960105	(8)
	WO 1994-IB93		19940505	
			19960105	PCT 371 date
			19960105	PCT 102(e) date

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: MacMillan, Keith D.
ASSISTANT EXAMINER: Garcia, Maurie E.
LEGAL REPRESENTATIVE: Liniak, Berenato, Longacre & White
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 17 Drawing Figure(s); 13 Drawing Page(s)
LINE COUNT: 2643
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided by this invention are essentially homogeneous, defined compositions of matter and hetero-polyoximes of defined structure comprising a baseplate structure having a plurality of oxime bonds, wherein each oxime bond links a specifically active molecule to the baseplate. Also provided are novel baseplates having a plurality of oxime forming complementary reactive groups and novel specifically reactive molecules having an oxime forming complementary reactive group.

Also provided by this invention are methods of preparing these novel compositions of matter by chemoselectively ligating via oxime bond formation a complementary orthogonal reactive group on the baseplate to a complementary reactive orthogonal group on a specifically active molecule. Methods of using these defined compositions of matter as well as pharmaceutical compositions comprising these defined compositions of matter and methods of their use are also provided by this invention.

IT **160818-36-0P**
(prepn. of peptide-contg. polyoximes for use as pharmaceuticals and diagnostics)
RN 160818-36-0 USPATFULL
CN Glycine, N-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N-[N-[N-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]glycyl]glycyl]glycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl]-, stereoisomer (9CI) (CA INDEX NAME)

L5 ANSWER 11 OF 37 USPATFULL (Continued)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 12 OF 37 USPATFULL
ACCESSION NUMBER: 2001:11016 USPATFULL
TITLE: Nucleic acid transporter systems
INVENTOR(S): Woo, Savio L. C., Houston, TX, United States
Smith, Louis C., Houston, TX, United States
Cristiano, Richard J., Pearland, TX, United States
Gottchalk, Stephen, Houston, TX, United States
Sparrow, Jim, Houston, TX, United States
PATENT ASSIGNEE(S): Baylor College of Medicine, Houston, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6177554	B1	20010123	
APPLICATION INFO.:	US 1995-462040		19950605	(8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-167641, filed on 14 Dec 1993, now patented, Pat. No. US 6033884 Continuation-in-part of Ser. No. WO 1993-US2725, filed on 19 Mar 1993 Continuation-in-part of Ser. No. US 1992-855389, filed on 20 Mar 1992, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Riley, Jezia
LEGAL REPRESENTATIVE: Lyon & Lyon LLP
NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 53 Drawing Figure(s); 40 Drawing Page(s)
LINE COUNT: 3332
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nucleic acid transporter systems for delivery of nucleic acid to a cell.

The nucleic acid transporter includes a binding complex. The binding complex contains a binding molecule which non-covalently binds to the nucleic acid and covalently links to a surface **ligand**, nuclear **ligand** and/or a lysis agent. These may be linked to the binding molecule by spacers.

IT **154531-22-3P**
(prepn. of, for use in DNA transporter system for genetic transformation and gene therapy)
RN 154531-22-3 USPATFULL
CN L-Lysine, N-[1-oxo-3-[[2-[[L-tyrosyl-N6-[26-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-14-[[[6-[[2-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-1,1-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]ethyl]amino]-6-oxohexyl]amino]carbonyl]-25,25-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]-1,4,12,16,23-pentaoxo-8,9-dithia-5,13,17,24-tetraazahexacos-1-yl]-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl]amino]ethyl]dithio]propyl]-L-tyrosyl-N6-(3-carboxy-1-oxopropyl)-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-, (11.fwdarw.1')-amide with glycyl-L-tyrosyl-L-seryl-L-threonyl-L-prolyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-L-prolinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 13 OF 37 USPATFULL
ACCESSION NUMBER: 2001:10522 USPATFULL
TITLE: Cascade polymer complexes, process for their production and pharmaceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Berlin, Germany, Federal Republic of
Platzek, Johannes, Berlin, Germany, Federal Republic of
Raduchel, Bernd, Berlin, Germany, Federal Republic of
Muhler, Andreas, Neuenhagen, Germany, Federal Republic of
Frenzel, Thomas, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengeseuschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6177060	B1	20010123	
APPLICATION INFO.:	US 1998-44254		19980319	(9)

RELATED APPLN. INFO.: Division of Ser. No. US 1996-674844, filed on 3 Jul 1996, now patented, Pat. No. US 5820849

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19525924	19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Millen, White, Zelano & Branigan, P.C.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1880
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cascade polymer complexes with at least 16 ions of an element of atomic numbers 20 to 29, 39, 42, 44 or 57-83, useful NMR or x-ray lymphography imaging.

IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 13 OF 37 USPATFULL (Continued)

L5 ANSWER 14 OF 37 USPATFULL

ACCESSION NUMBER: 2000:174826 USPATFULL
TITLE: Cascade polymer complexes, process for their production and pharmaceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Berlin, Germany, Federal Republic of
Platzek, Johannes, Berlin, Germany, Federal Republic of
Raduchel, Bernd, Berlin, Germany, Federal Republic of
Muhler, Andreas, Neuenhagen, Germany, Federal Republic of
Frenzel, Thomas, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellachaft, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6166200		20001226
APPLICATION INFO.:	US 1999-345807		19990702 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-44254, filed on 19 Mar 1998 which is a division of Ser. No. US 1996-674844, filed on 3 Jul 1996, now patented, Pat. No. US 5820849

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19525924	19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Millen, White, Zelano, & Branigan, P.C.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1904
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cascade polymer complexes that contain

a) complexing **ligands** of general formula I

A--{X--(Y--(Z--W--K.sub.W .sub.z).sub.y].sub.x}.sub.a (I),

in which

A stands for a nitrogen-containing cascade nucleus of base multiplicity a,

X and Y, independently of one another, stand for a direct bond or a cascade reproduction unit of reproduction multiplicity x or y,

Z and W, independently of one another, stand for a cascade reproduction unit of reproduction multiplicity z or w,

K stands for the radical of a complexing agent,

a stands for numbers 2 to 12,

L5 ANSWER 14 OF 37 USPATFULL (Continued)

x, y, z and w, independently of one another, stand for numbers 1 to 4,

provides that at least two reproduction units are different and that for the product of the multiplicities,

16.ltoreq.a.multidot.x.multidot.y.multidot.z.multidot.w.ltoreq.64

holds true,

b) at least 16 ions of an element of atomic numbers 20 to 29, 39, 42, 44 or 57-83,

c) optionally cations of inorganic and/or organic bases, amino acids or amino acid amides as well as

d) optionally acylated terminal amino groups are valuable compounds for diagnosis and therapy.

IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 15 OF 37 USPATFULL

ACCESSION NUMBER: 2000:157221 USPATFULL
TITLE: Nucleic acid transporter systems and methods of use
INVENTOR(S): Woo, Savio L. C., Houston, TX, United States
Smith, Louis C., Houston, TX, United States
Cristiano, Richard J., Pearland, TX, United States
Gottchalk, Stephen, Houston, TX, United States
Sparrow, Jim, Houston, TX, United States
PATENT ASSIGNEE(S): Baylor College of Medicine, Houston, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6150168		20001121
APPLICATION INFO.:	US 1995-460971		19950605 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-167641, filed on 14 Dec 1993, now patented, Pat. No. US 6033884 which is a continuation-in-part of Ser. No. US 1992-855389, filed on 20 Mar 1992, now abandoned which is a continuation-in-part of Ser. No. WO 1993-US2725, filed on 19 Mar 1993

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Brusca, John S.
ASSISTANT EXAMINER: Shibuya, Mark L.
LEGAL REPRESENTATIVE: Lyon & Lyon LLP
NUMBER OF CLAIMS: 52
EXEMPLARY CLAIM: 38
NUMBER OF DRAWINGS: 51 Drawing Figure(s); 40 Drawing Page(s)
LINE COUNT: 4249
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nucleic acid transporter systems for delivery of nucleic acid to a cell.

The nucleic acid transporter includes a binding complex. The binding complex contains a binding molecule which non-covalently binds to the nucleic acid and covalently links to a surface **ligand**, nuclear **ligand** and/or a lysis agent. These may be linked to the binding molecule by spacers.

IT **154531-22-3P**
(prepn. of, for use in DNA transporter system for genetic transformation and gene therapy)
RN 154531-22-3 USPATFULL
CN L-Lysine, N-[1-oxo-3-[(2-[(L-tyrosyl-N6-[26-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-14-[[[6-[[2-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-1,1-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]ethyl]amino]-6-oxohexyl]amino]carbonyl]-25,25-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]-1,4,12,16,23-pentaoxo-8,9-dithia-5,13,17,24-tetraazahexacos-1-yl]-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl]amino]ethyl]dithio]propyl]-L-tyrosyl-N6-(3-carboxy-1-oxopropyl)-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-, (11.fwdarw.1')-amide with glycyl-L-tyrosyl-L-seryl-L-threonyl-L-prolyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-L-prolinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 16 OF 37 USPATFULL
ACCESSION NUMBER: 2000:61178 USPATFULL
TITLE: Cascade polymer complexes, process for their production
and pharmaceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Berlin, Germany, Federal Republic of
Platzek, Johannes, Berlin, Germany, Federal Republic of
Raduchel, Bernd, Berlin, Germany, Federal Republic of
Muhler, Andreas, Neuenhagen, Germany, Federal Republic of
Frenzel, Thomas, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6063361		20000516
APPLICATION INFO.:	US 1998-40364		19980318 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-674844, filed on 3 Jul 1996, now patented, Pat. No. US 5820849		
PRIORITY INFORMATION:	DE 1995-19525924		19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Millen, White, Zelane & Branigan, P.C.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 2098
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Cascade polymer complexes having a complexing **ligand** with at least two different reproduction units and having a complexing agent which is a macrocyclic group having an amide grouping or a linear DTPA-type group having a linkage to the polymer through the central nitrogen.
IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-(4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-

L5 ANSWER 16 OF 37 USPATFULL (Continued)
tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 17 OF 37 USPATFULL
ACCESSION NUMBER: 1999:155493 USPATFULL
TITLE: Nucleic acid transporter system and methods of use
INVENTOR(S): Woo, Savio L. C., Houston, TX, United States
Smith, Louis C., Houston, TX, United States
Cristiano, Richard J., Pearland, TX, United States
Gottchalk, Stephen, Houston, TX, United States
Sparrow, Jim, Houston, TX, United States
PATENT ASSIGNEE(S): Baylor College of Medicine, Houston, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5994109		19991130
APPLICATION INFO.:	US 1995-460890		19950603 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-167641, filed on 14 Dec 1993 which is a continuation-in-part of Ser. No. US 1992-855389, filed on 20 Mar 1992, now abandoned , said Ser. No. US 167641 which is a continuation-in-part of Ser. No. WO 1993-US2725, filed on 19 Mar 1993		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: LaGuyader, John L.
ASSISTANT EXAMINER: Brusca, John S.
LEGAL REPRESENTATIVE: Lyon & Lyon LLP
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 51 Drawing Figure(s); 40 Drawing Page(s)
LINE COUNT: 4086
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Nucleic acid transporter systems for delivery of nucleic acid to a cell.
The nucleic acid transporter includes a binding complex. The binding complex contains a binding molecule which non-covalently binds to the nucleic acid and covalently links to a surface **ligand**, nuclear **ligand** and/or a lysis agent. These may be linked to the binding molecule by spacers.
IT **154531-22-3P**
(prepn. of, for use in DNA transporter system for genetic transformation and gene therapy)
RN 154531-22-3 USPATFULL
CN L-Lysine, N-[1-oxo-3-[[2-[[L-tyrosyl-N6-[26-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-14-[[[6-[[2-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-1,1-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]ethyl]amino]-6-oxohexyl]amino]carbonyl]-25,25-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]-1,4,12,16,23-pentaoxo-8,9-dithia-5,13,17,24-tetraazahexacos-1-yl]-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl]amino]ethyl]dithio]propyl]-L-tyrosyl-N6-(3-carboxy-1-oxopropyl)-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-, (11.fwdarw.1')-amide with glycyl-L-tyrosyl-L-seryl-L-threonyl-L-prolyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl-L-.alpha.-glutamyl-L-.alpha.-asparty-L-prolinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 18 OF 37 USPATFULL
ACCESSION NUMBER: 1999:15898 USPATFULL
TITLE: Peptide-**chelator** conjugates
INVENTOR(S): Goodbody, Anne, Toronto, Canada
Pollak, Alfred, Toronto, Canada
PATENT ASSIGNEE(S): Resolution Pharmaceuticals, Inc., Mississauga, Canada (non-U.S. corporation)

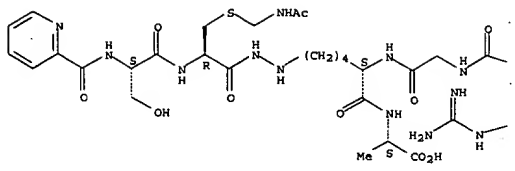
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5866544		19990202
APPLICATION INFO.:	US 1997-955263		19971021 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-713484, filed on 13 Sep 1996, now patented, Pat. No. US 5679642 which is a division of Ser. No. US 1994-202178, filed on 25 Feb 1994, now patented, Pat. No. US 5569745		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia
ASSISTANT EXAMINER: Gupta, Anish
LEGAL REPRESENTATIVE: Foley & Lardner
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 619
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Peptide-**chelator** conjugates are provided that when labelled with a traceable metal are useful for diagnostic imaging of sites of inflammation. The peptide component is an antagonist of the naturally occurring tetrapeptide tuftsin while the **chelator** component serves as a labelling site for metals, in particular radionuclide metals such as technetium-99 m.
IT **169048-14-0DP**, chelates
(peptide-chelator conjugates for diagnostic imaging)
RN 169048-14-0 USPATFULL
CN L-Alanine, N-[6-[2-[S-[(acetylamino)methyl]-N-[N-(2-pyridinylcarbonyl)-L-seryl]-L-cysteinyl]hydrazino]-N-[N-[N2-[1-(1-[N2-(N-formyl-L-threonyl)-L-lysyl]-L-prolyl)-L-prolyl]-L-arginyl]glycyl]-L-norleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 18 OF 37 USPATFULL (Continued)

PAGE 1-A



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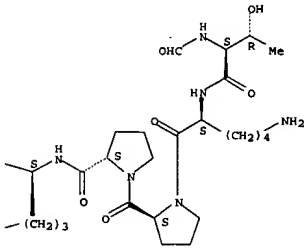


L5 ANSWER 19 OF 37 USPATFULL

ACCESSION NUMBER: 1998:124183 USPATFULL
TITLE: Cascade polymer complexes, process for their production
and pharamceutical agents containing said complexes
INVENTOR(S): Schmitt-Willich, Heribert, Berlin, Germany, Federal Republic of
Platzek, Johannes, Berlin, Germany, Federal Republic of
Raduchel, Bernd, Berlin, Germany, Federal Republic of
Muhler, Andreas, Neuenhagen, Germany, Federal Republic of
Frenzel, Thomas, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5820849		19981013
APPLICATION INFO.:	US 1996-674844		19960703 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19525924	19950704

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hollinden, Gary E.
ASSISTANT EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Millen, White, Zelano & Branigan, P.C.
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 2077
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cascade polymer complexes that contain

a) complexing **ligands** of general formula I

A-{X-[Y-(Z-<W-K.sub.W >.sub.Z).sub.y].sub.x }.sub.a (I),

in which

A stands for a nitrogen-containing cascade nucleus of base multiplicity a,

X and Y, independently of one another, stand for a direct bond or a cascade reproduction unit of reproduction multiplicity x or y,

Z and W, independently of one another, stand for a cascade reproduction unit of reproduction multiplicity z or w,

K stands for the radical of a complexing agent,

a stands for numbers 2 to 12,

x, y, z and w, independently of one another, stand for numbers 1 to 4,

L5 ANSWER 19 OF 37 USPATFULL (Continued)

provided that at least two reproduction units are different and that for

the product of the multiplicities,

16<a.multidot.x.multidot.y.multidot.z.multidot.w<64

holds true,

b) at least 16 ions of an element of atomic numbers 20 to 29, 39, 42, 44

or 57-83,

c) optionally cations of inorganic and/or organic bases, amino acids or amino acid amides as well as

d) optionally acylated terminal amino groups

are valuable compounds for diagnosis and therapy.

IT **186148-77-6P**
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

IT **186148-77-6DP**, gadolinium complexes
(prepn. of cascade polymer complexes as medical contrast media)
RN 186148-77-6 USPATFULL
CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 20 OF 37 USPATFULL

ACCESSION NUMBER: 1998:48369 USPATFULL
TITLE: Peptide derivatives having binding activity to modified low density lipoprotein
INVENTOR(S): Tanaka, Toshiki, Osaka, Japan
Doi, Takefumi, Ibaraki, Japan
Nakamura, Haruki, Toyonaka, Japan
Imanishi, Takeshi, Nara, Japan
Kodama, Tatsuhiko, Tokyo, Japan
PATENT ASSIGNEE(S): Protein Engineering Research Institute, Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747451		19980505
APPLICATION INFO.:	US 1995-395816		19950228 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1994-288465	19941122

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia J.
ASSISTANT EXAMINER: Delaney, Patrick R.
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT: 569
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Peptide derivatives represented by the formula (I): ##STR1## which can specifically bind to modified LDL in competition with scavenger receptors on macrophages and are useful in diagnosing, preventing and treating circulatory diseases caused by accumulation of modified LDL in macrophages.

IT **180514-62-9DP**, streptavidin-dynabeads M-280 bound **180514-62-9P 180584-60-5P**
(prepn. of peptide deriva. having binding activity to modified low d. lipoprotein)
RN 180514-62-9 USPATFULL
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-glutaminyl-L-lysylglycyl-L-glutaminyl-L-lysylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''''),(1'.fwdarw.3''''),(1''.fwdarw.4''')-tris(thioether) with N2-[6-[[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl]amino]-1-oxohexyl]-N6-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-L-lysyl-L-tyrosinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

RN 180514-62-9 USPATFULL

L5 ANSWER 20 OF 37 USPATFULL (Continued)
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-

glutaminyl-L-lysylglycyl-L-glutaminyl-L-lysylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''')(1'.fwdarw.3''')(1''.fwdarw.4''')-tris(thioether) with N2-[6-[[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-

(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl}amino]-1-oxohexyl]-

N6-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-L-lysyl-L-tyrosinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

RN 180584-60-5 USPATFULL
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-

glutaminyl-L-lysylglycyl-L-glutaminyl-L-lysylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''')(1'.fwdarw.3''')(1''.fwdarw.4''')-tris(thioether) with N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysylglycyl-L-tyrosinamide (9CI) (CA INDEX NAME)

STRUCTURE DIAGRAM IS NOT AVAILABLE

L5 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:378602 CAPLUS
DOCUMENT NUMBER: 129:136399
TITLE: Chemoenzymic synthesis of dendritic sialyl Lewisx
AUTHOR(S): Palcic, Monica M.; Li, Hong; Zanini, Diana; Bhella, Resham S.; Roy, Rene
CORPORATE SOURCE: Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.
SOURCE: Carbohydrate Research (1998), Volume Date 1997, 305(3-4), 433-442
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Traditional structure activity relationship studies (SAR) have led to the development of numerous sialyl Lewisx analogs in the search for potential antiinflammatory agents. However, these methods do not take into account cluster or multivalent effects. Reported herein is the chemoenzymic synthesis of di-, tetra-, and octa-valent sLex **ligands** scaffolded on dendrimers. Hypervalent L-lysine cores with covalently attached 2-acetamido-2-deoxy-D-glucose (N-acetylglucosamine, GlcNAc) residues were chem. prepd. and enzymically transformed into sLex-contg. dendrimers so that multivalency, and its role in selectin-sLex interactions may be evaluated. This work constitutes another successful enzymic synthesis of sLex and represents the first example of GlcNAc elongation on a synthetic dendrimer scaffold. These sLex dendrimers are currently being investigated as selectin antagonists.
IT **210472-90-5P**
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(chemoenzymic synthesis of dendritic sialyl Lewisx)
RN 210472-90-5 CAPLUS
CN .beta.-Alanine,
N2,N6-bis[N2,N6-bis[N-[[[O-(N-acetyl-.alpha.-neuraminosyl)-

(2.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-O-(6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)]-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]thio]acetyl}glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

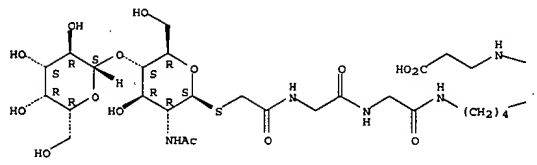
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **187988-44-9P 187988-45-0P 188039-95-4P 188132-41-4P 210471-92-4P**
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(chemoenzymic synthesis of dendritic sialyl Lewisx)
RN 187988-44-9 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N-[[[2-(acetylamino)-2-deoxy-4-O-.beta.-D-

galactopyranosyl-.beta.-D-glucopyranosyl]thio]acetyl]glycylglycyl]-L-lysyl- (9CI) (CA INDEX NAME)

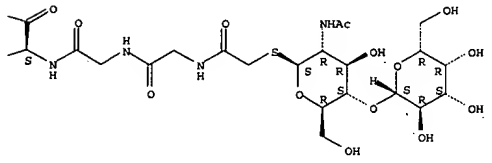
Absolute stereochemistry.

L5 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



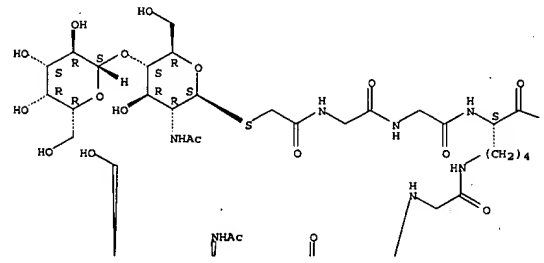
RN 187988-45-0 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[2-(acetylamino)-2-deoxy-4-O-

.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

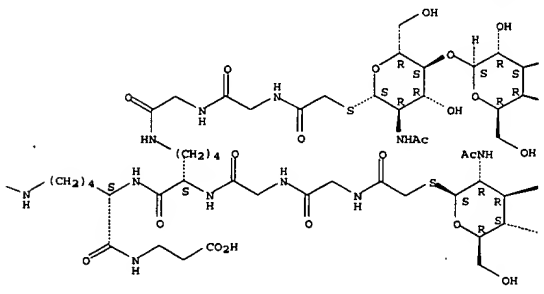
Absolute stereochemistry.

L5 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

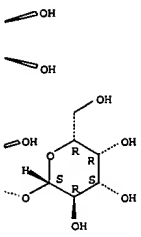


PAGE 1-B

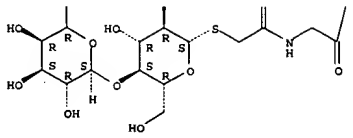


L5 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



PAGE 2-A



RN 188039-95-4 CAPLUS
CN .beta.-Alanine,
N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[2-(acetylamino)-2-deoxy-
4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl]thio]acetyl]glycylgl
ycyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 188132-41-4 CAPLUS
CN .beta.-Alanine,
N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[2-(acetylamino)-2-deoxy-
.beta.-D-glucopyranosyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-
lysyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 210471-92-4 CAPLUS
CN .beta.-Alanine,
N2,N6-bis[N2,N6-bis[N-[[[O-(N-acetyl-.alpha.-neuraminosyl)-

L5 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
(2.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-2-(acetylamino)-2-
deoxy-.beta.-D-glucopyranosyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl-
(9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:165206 CAPLUS
DOCUMENT NUMBER: 126:154428
TITLE: Process for the identification of proteolytic activities and/or inhibitors thereof
INVENTOR(S): Fassina, Giorgio; Corti, Angelo
PATENT ASSIGNEE(S): Tecnogen S.C.P.A., Italy
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 751225	A1	19970102	EP 1996-114931	19911014
EP 751225	B1	20010328		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 481930	A2	19920422	EP 1991-830428	19911014
EP 481930	A3	19930630		
EP 481930	B1	19970618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 154609	E	19970715	AT 1991-830428	19911014
AT 200107	E	20010415	AT 1996-114931	19911014

PRIORITY APPLN. INFO.: IT 1990-48365 A 19901015
IT 1991-RM261 A 19910415
EP 1991-830428 A3 19911014
IT 1991-RO261 19910415

AB This invention relates to a process for the identification of proteolytic activities or of activities that inhibit proteolytic activities, particularly of endothelin and/or of TNF, esp. in biol. fluids, fermn. broths, conditioned culture soils, cell exts., and plant exts. As an example, the process can use a fragment of proendothelin as substrate as well as a ligand comprising amino acid sequences that are hydropathically complementary to the fragment of proendothelin.

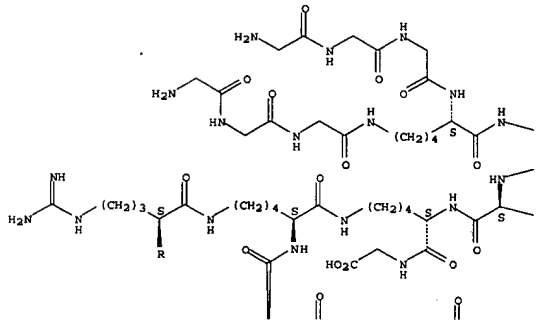
IT **143226-64-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(detn. of proendothelin- and TNF-specific proteolytic activities and their inhibitors)

RN 143226-64-6 CAPLUS
CN Glycine, N2,N6-bis[N2,N6-bis[N2,N6-bis(glycylglycylglycyl)-L-lysyl-L-arginyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

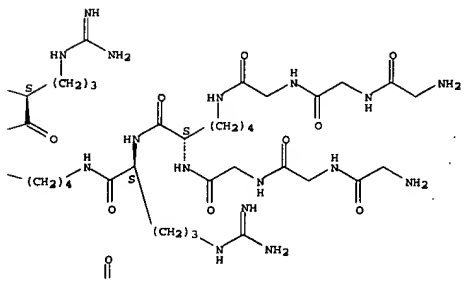
Absolute stereochemistry.

L5 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

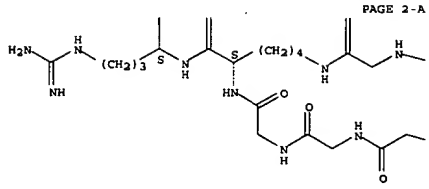


PAGE 1-B

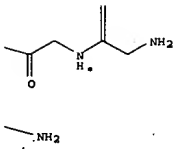


L5 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



PAGE 2-B



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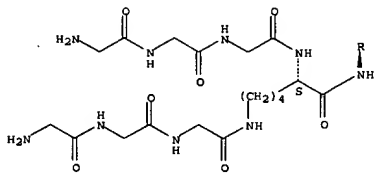


L5 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:113386 CAPLUS
DOCUMENT NUMBER: 126:115166
TITLE: Cascade polymer complexes for use in medical diagnostics
INVENTOR(S): Schmitt-Willich, Heribert; Platzek, Johannes; Raduechel, Bernd; Muehler, Andreas; Frenzel, Thomas
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19525924	A1	19970109	DE 1995-19525924	19950704
CA 2225959	AA	19970123	CA 1996-2225959	19960620
WO 9702051	A2	19970123	WO 1996-EP2671	19960620
WO 9702051	A3	19970327		
W: AU, BG, BR, BY, CA, CN, CZ, IL, JP, KR, MX, NO, NZ, PL, RU, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9663586	A1	19970205	AU 1996-63586	19960620
AU 713470	B2	19991202		
EP 836485	A2	19980422	EP 1996-922859	19960620
EP 836485	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1189779	A	19980805	CN 1996-195200	19960620
CN 1079679	B	20020227		
BR 9609478	A	19990525	BR 1996-9478	19960620
JP 11510834	T2	19990921	JP 1996-504756	19960620
NZ 312127	A	20000128	NZ 1996-312127	19960620
RU 2166501	C2	20010510	RU 1998-101903	19960620
AT 220924	E	20020815	AT 1996-922859	19960620
ES 2177792	T3	20021216	ES 1996-922859	19960620
US 5820849	A	19981013	US 1996-674844	19960703
ZA 9605686	A	19970124	ZA 1996-5686	19960704
NO 9800002	A	19980304	NO 1998-2	19980102
US 6063361	A	20000516	US 1998-40364	19980318
US 6177060	B1	20010123	US 1998-44254	19980319
HK 1013915	A1	20020802	HK 1998-112506	19981130
US 6166200	A	20001226	US 1999-345807	19990702
AU 9947393	A1	19991125	AU 1999-47393	19990906
AU 726604	B2	20001116		
US 6426059	B1	20020730	US 2000-620989	20000720
CN 1377881	A	20021106	CN 2001-142101	20010911
US 2002187101	A1	20021212	US 2002-138651	20020506

PRIORITY APPLN. INFO.:

DE 1995-19525924	A	19950704
AU 1996-63586	A3	19960620
WO 1996-EP2671	W	19960620
US 1996-674844	A1	19960703
US 1998-44254	A3	19980319
US 2000-620989	A3	20000720

L5 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

OTHER SOURCE(S): MARPAT 126:115166

AB N-contg., complex-forming **ligands** attached to cascade polymers, which can bind .gtoreq.16 transition element or lanthanide ions or org. cations per polymer mol., are useful as contrast agents for NMR or radiog. diagnosis. Thus, a protected trimesic acid hexakis(2-aminoethyl)triamide was condensed with a protected N.alpha.,N.epsilon.-bis(lysyl)lysine to produce a protected 24-polyamine, trimesic acid hexakis[2-(trilysylamino)ethyl]triamide. This compd. in turn was condensed with 10-(4-carboxy-1-methyl-2-oxo-3-azabutyl)-1,4,7-tris(tert-butoxycarbonylmethyl)-1,4,7,10-tetraazacyclododecane (prepn. given), and the resulting cascade polyamide was complexed with Gd3+ to provide a contrast agent. This complex, injected i.v. into rats, showed relatively little diffusion into the interstitial space, and thus was useful for imaging the blood pool.

IT **186148-77-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 CAPLUS

CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3''''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **186148-77-6DP**, gadolinium complexes
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cascade polymer complexes as medical contrast media)

RN 186148-77-6 CAPLUS

CN L-Lysinamide, 3,3',3'',3''',3'''',3''''',3'''''',3''''''',3'''''''-[1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrayltetrakis[(2-oxo-2,1-ethanediyl)oxy(1-oxo-2,1-ethanediyl)nitrilodi-2,1-ethanediyl]]octakis[N2,N6-bis[N2,N6-bis[N-[1-oxo-2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]propyl]glycyl]-L-lysyl]- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L5 ANSWER 24 OF 37 USPATFULL

ACCESSION NUMBER: 97:96838 USPATFULL
TITLE: Peptide-**chelator** conjugates
INVENTOR(S): Goodbody, Anne, Toronto, Canada
Pollak, Alfred, Toronto, Canada
PATENT ASSIGNEE(S): Resolution Pharmaceuticals Inc., Mississauga, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5679642		19971021
APPLICATION INFO.:	US 1996-713484		19960913 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-202178, filed on 25 Feb 1994, now patented, Pat. No. US 5569745		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hutzell, Paula K.
ASSISTANT EXAMINER: Prickril, Benet
LEGAL REPRESENTATIVE: Foley & Lardner
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 575
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Peptide-**chelator** conjugates are provided that when labelled with a traceable metal are useful for diagnostic imaging of sites of inflammation. The peptide component is an antagonist of the naturally occurring tetrapeptide tuftsin while the **chelator** component serves as a labelling site for metals, in particular radionuclide metals such as technetium-99m.

IT **169048-14-0DP**, chelates
(peptide-chelator conjugates for diagnostic imaging)

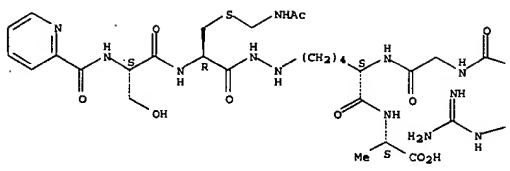
RN 169048-14-0 USPATFULL

CN L-Alanine, N-[6-[2-[S-[(acetylamino)methyl]-N-[N-(2-pyridinylcarbonyl)-L-seryl]-L-cysteinyl]hydrazino]-N-[N-[N2-[1-[1-[N2-(N-formyl-L-threonyl)-L-lysyl]-L-prolyl]-L-prolyl]-L-arginyl]glycyl]-L-norleucyl]- (9CI) (CA INDEX NAME)

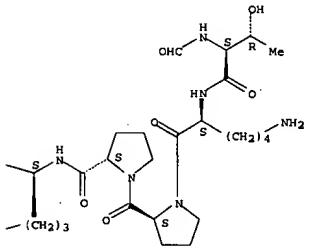
Absolute stereochemistry.

L5 ANSWER 24 OF 37 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L5 ANSWER 25 OF 37 USPATFULL

ACCESSION NUMBER: 97:47502 USPATFULL
TITLE: Selectively cleavabe linners based on iminodiacetic acid esters for solid phase peptide synthesis
INVENTOR(S): Lebl, Michal, Oro Valley, AZ, United States
Krchnak, Viktor, Oro Valley, AZ, United States
Kocis, Petr, Oro Valley, AZ, United States
Lam, Kit S., Tucson, AZ, United States
PATENT ASSIGNEE(S): Selectide Corporation, Tucson, AZ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5635598		19970603
APPLICATION INFO.:	US 1994-263289		19940621 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-81997, filed on 23 Jun 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-80388, filed on 21 Jun 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lukton, David
LEGAL REPRESENTATIVE: Pennie & Edmonds
NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT: 2349
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

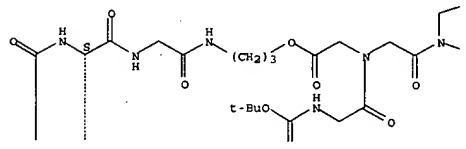
AB The present invention is directed to linkers based on ester bond linkages, especially iminodiacetic acid ester bond linkages, for use in solid phase peptide synthesis. In particular, the invention is directed to cleavable linkers that can release peptide from the solid phase support under relatively mild conditions by formation of a diketopiperazine or other cyclic structure, such that the cyclic structure remains on the solid phase support, and, in a second cleavage, under more stringent conditions of high pH. The invention is further directed to solid phase supports prepared with multiple cleavable linkers, including a linker that is cleaved by formation of a cyclic product. One such second linker is an ester of hydroxymethylbenzoic acid, or esters formed by carboxy groups of aspartic or glutamic acid.

IT **167628-87-7DP**, resin-bound
(selectively cleavable liners based on iminodiacetic acid esters for solid phase peptide synthesis)
RN 167628-87-7 USPATFULL
CN L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[2-[3-[[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-tryptophylglycyl]amino]propoxy]-2-oxoethyl]glycyl-N-[2-[3-[[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-tryptophyl-L-valylglycyl]amino]propoxy]-2-oxoethyl]glycyl-N6-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-tryptophyl-L-valyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 25 OF 37 USPATFULL (Continued)

PAGE 1-A



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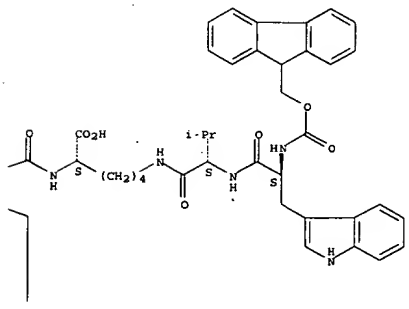
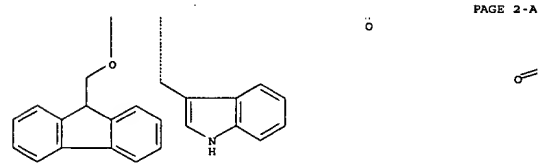


L5 ANSWER 25 OF 37 USPATFULL (Continued)

PAGE 2-A



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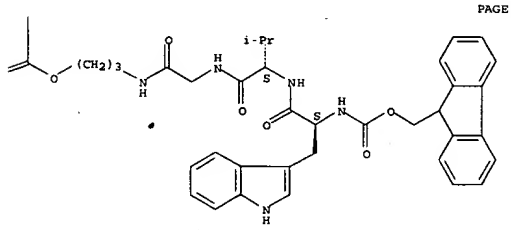


L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:196124 CAPLUS
DOCUMENT NUMBER: 126:287607
TITLE: Pseudopeptide TASP inhibitors of HIV entry bind specifically to a 95-kDa cell surface protein
AUTHOR(S): Callebaut, Christian; Jacotot, Etienne; Krust, Bernard; Guichard, Gilles; Blanco, Julia; Valenzuela, Agustin; Svab, Josette; Muller, Sylviane; Briand, Jean-Paul; Hovanessian, Ara G.
CORPORATE SOURCE: Unite Virologie Immunologie Cellulaire, Inst. Pasteur, Paris, 75724, Fr.
SOURCE: Journal of Biological Chemistry (1997), 272(11), 7159-7166
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The template assembled synthetic peptide constructs (TASP), pentavalently presenting the tripeptide KPR or RPK, are potent and specific inhibitors of human immunodeficiency virus (HIV) infection by preventing viral entry into permissive cells. Here the 5[K.PSI.(CH2N)PR]-TASP construct, .PSI.(CH2N) for reduced peptide bond, was used in studies to demonstrate its specific binding to a 05-kDa cell surface protein **ligand**. Compared to its nonreduced 5[KPR]-TASP counterpart, the pseudopeptide 5[K.PSI.(CH2N)PR]-TASP manifested higher affinity to bind to its cell surface **ligand**, increased activity to inhibit HIV infection, and resistance to degrdn. when incubated in serum from an HIV-1 seropos. individual. In **ligand** blotting expts., the biotin-labeled 5[K.PSI.(CH2N)PR]-TASP identified a single 95-kDa protein in crude cell exts. This 95-kDa protein (p95) is expressed on the cell surface since surface iodination of cells resulted in its labeling, and moreover, following incubation of cells with the biotin-labeled 5[K.PSI.(CH2N)PR]-TASP, the p95.cntdot.TASP complex was recovered by affinity chromatog. using avidin-agarose. All anti-HIV TASP constructs but not their control derivs. affected the binding of biotin-labeled 5[K.PSI.(CH2N)PR]-TASP to p95, thus emphasizing the specific nature of this binding. Since 5[K.PSI.(CH2N)PR]-TASP does not interact with HIV-envelope glycoproteins, our results suggest that TASP inhibitors mediate directly or indirectly a block in HIV-mediated membrane fusion process by binding to the cell surface expressed p95.

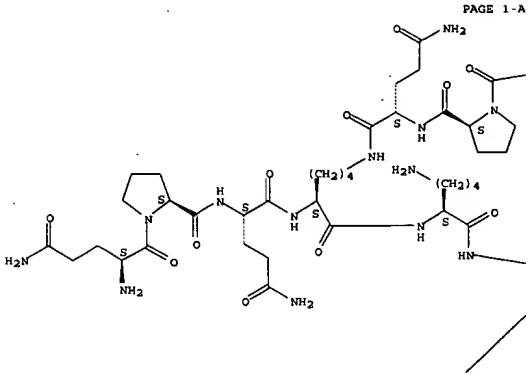
IT **175297-46-8 189076-16-2 189076-17-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pseudopeptide TASP inhibitors of HIV entry bind specifically to a 95-kDa cell surface protein)

RN 175297-46-8 CAPLUS
CN L-Cysteine, L-glutaminyl-L-prolyl-L-glutaminyl-N6-(L-glutaminyl-L-prolyl-L-glutaminyl)-L-lysyl-L-lysyl-N6-(L-glutaminyl-L-prolyl-L-glutaminyl)-L-lysylglycyl-L-prolyl-N6-(L-glutaminyl-L-prolyl-L-glutaminyl)-L-lysyl-L-.alpha.-glutamyl-N6-(L-glutaminyl-L-prolyl-L-glutaminyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

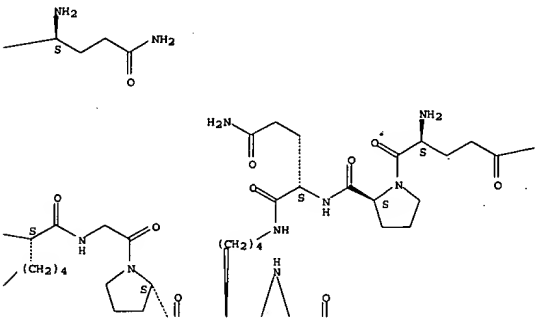
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

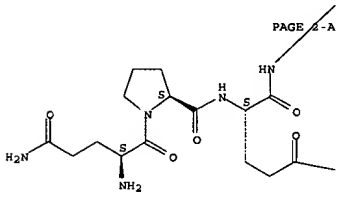
PAGE 1-B



PAGE 1-C

L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



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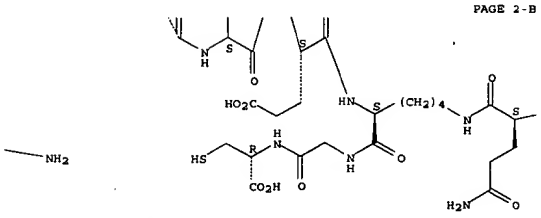

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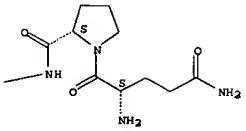
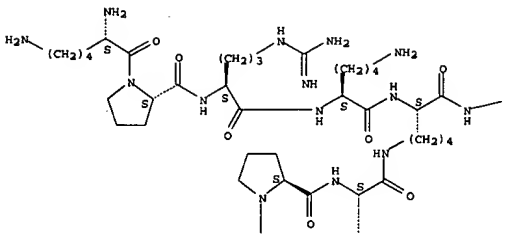


RN 189076-16-2 CAPLUS
CN L-Cysteine, L-lysyl-L-prolyl-L-arginyl-L-lysyl-N6-(L-lysyl-L-prolyl-L-arginyl)-L-lysyl-N6-(L-lysyl-L-prolyl-L-arginyl)-L-lysylglycyl-L-prolyl-N6-(L-lysyl-L-prolyl-L-arginyl)-L-lysyl-L-.alpha.-glutamyl-N6-(L-lysyl-L-prolyl-L-arginyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

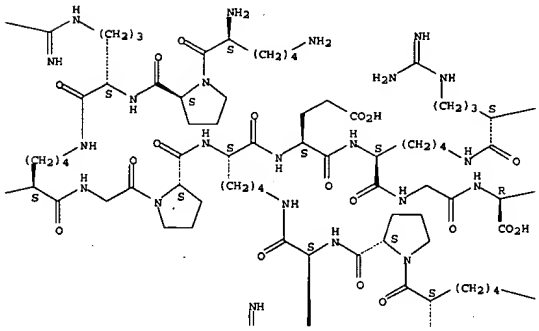
Absolute stereochemistry.

L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

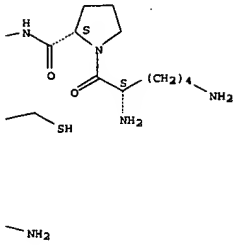


PAGE 1-B



L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



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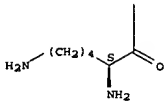

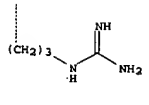

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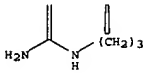


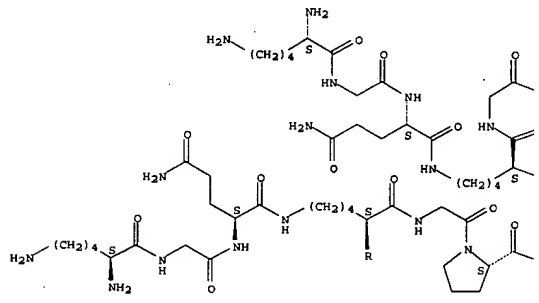
RN 189076-17-3 CAPLUS

CN L-Cysteine, L-lysylglycyl-L-glutaminyl-L-lysyl-N6-(L-lysylglycyl-L-glutaminyl)-L-lysyl-N6-(L-lysylglycyl-L-glutaminyl)-L-lysylglycyl-L-prolyl-N6-(L-lysylglycyl-L-glutaminyl)-L-lysyl-L-.alpha.-glutamyl-N6-(L-lysylglycyl-L-glutaminyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

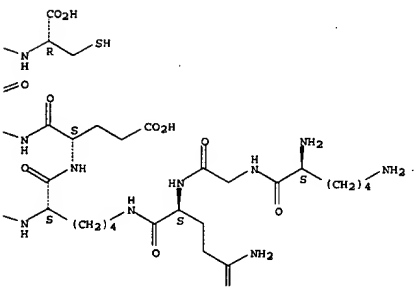
L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A

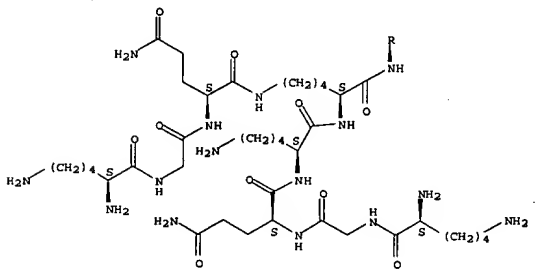


PAGE 1-B



L5 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



PAGE 2-B

L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:145739 CAPLUS
DOCUMENT NUMBER: 128:292317
TITLE: Glycodendrimers as novel biochromatography adsorbents
AUTHOR(S): Page, Daniel; Roy, Rene
CORPORATE SOURCE: Department of Chemistry, University of Ottawa, Ottawa, ON, K1N 6N5, Can.
SOURCE: International Journal of Bio-Chromatography (1997), 3(3), 231-244
CODEN: IJOBEQ; ISSN: 1068-0659
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthetic multivalent glycoconjugates ending with mannopyranoside residues were evaluated as **ligands** for the phytohemagglutinins from Con A (Con A) and Pisum sativum using enzyme-linked lectin assays (ELLA) and turbidimetric analyses. The relative affinity of the neoglycoconjugates, together with few ref. monosaccharides, were detd. by solid-phase inhibition assays using yeast mannan as coating antigen and peroxidase-labeled lectins. The ability of these **ligands** to selectively ppt. a mannose-binding protein (Con A) from a crude mixt. was also demonstrated using PAGE (SDS-PAGE). These multivalent glycoconjugates (glycondendrimers) were shown to constitute novel biochromatog. materials of high affinity for the isolation of carbohydrate-binding proteins.

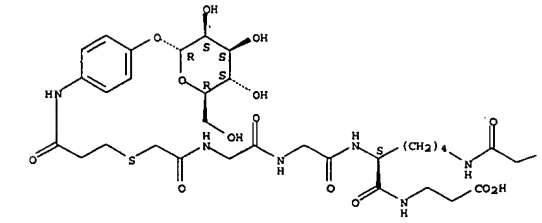
IT **187147-04-2 187147-06-4 187147-06-4D**, oligomeric **187284-57-7**
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); NUU (Other use, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(glycodendrimers as novel biochromatog. adsorbents)

RN 187147-04-2 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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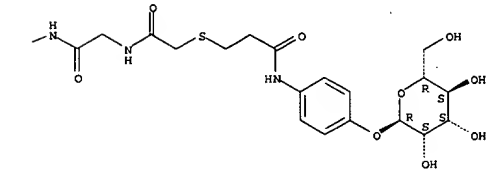
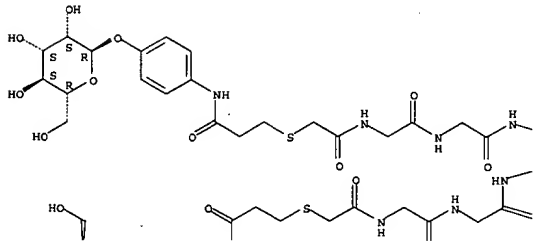


RN 187147-06-4 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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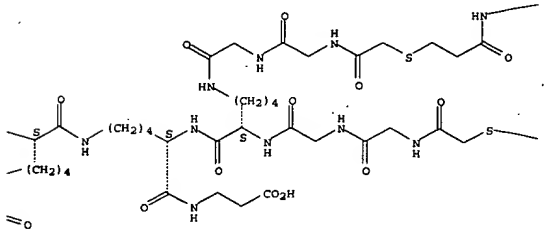
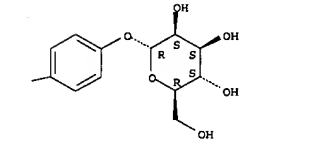
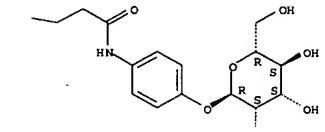


L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C





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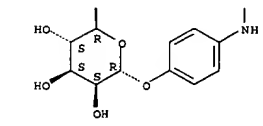


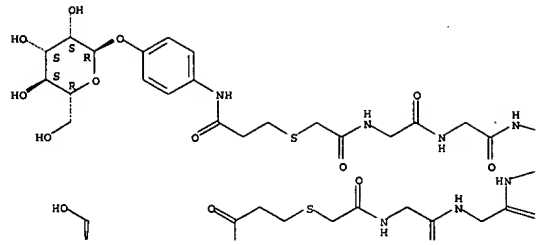
PAGE 2-C

RN 187147-06-4 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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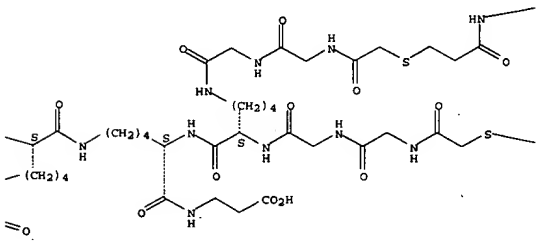
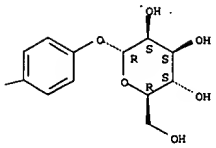


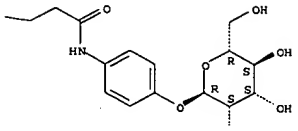
L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C





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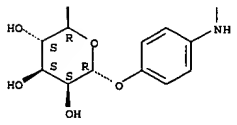


PAGE 2-C

RN 187284-57-7 CAPLUS
CN .beta.-Alanine, N2,N6-bis{N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 28 OF 37 USPATFULL

ACCESSION NUMBER:	96:99296 USPATFULL
TITLE:	Peptide-**Chelator** conjugates
INVENTOR(S):	Goodbody, Anne, Toronto, Canada Pollak, Alfred, Toronto, Canada
PATENT ASSIGNEE(S):	Resolution Pharmaceuticals Inc., Mississauga, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5569745		19961029
APPLICATION INFO.:	US 1994-202178		19940225 (8)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Woodward, Michael P.
ASSISTANT EXAMINER:	Prickril, Benet
LEGAL REPRESENTATIVE:	Foley & Lardner
NUMBER OF CLAIMS:	8
EXEMPLARY CLAIM:	1
LINE COUNT:	557

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

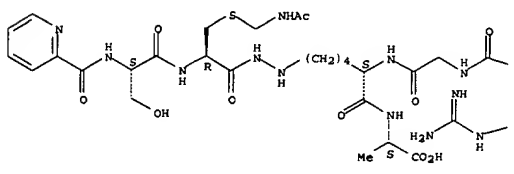
AB Peptide-**chelator** conjugates are provided that when labelled with a traceable metal are useful for diagnostic imaging of sites of inflammation. The peptide component is an antagonist of the naturally occurring tetrapeptide tuftsin while the **chelator** component serves as a labelling site for metals, in particular radionuclide metals such as technetium-99m.

IT **169048-14-0DP**, chelates
(peptide-chelator conjugates for diagnostic imaging)

RN 169048-14-0 USPATFULL
CN L-Alanine, N-[6-[2-[S-[(acetylamino)methyl]-N-[N-(2-pyridinylcarbonyl)-L-seryl]-L-cysteinyl]hydrazino]-N-[N-[N2-[1-[1-[N2-(N-formyl-L-threonyl)-L-lysyl]-L-prolyl]-L-prolyl]-L-arginyl]glycyl]-L-norleucyl]- (9CI) (CA INDEX NAME)

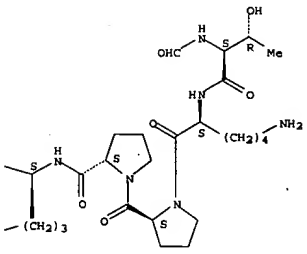
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 28 OF 37 USPATFULL (Continued)

PAGE 1-B



L5 ANSWER 29 OF 37 USPATFULL

ACCESSION NUMBER: 96:12706 USPATFULL
TITLE: Diagnostic and therapeutic compositions and methods for lipoprotein(a)
INVENTOR(S): Chiknas, Steven G., Vienna, VA, United States
PATENT ASSIGNEE(S): Carbaugh, Jr., John E., Rosslyn, VA, United States (U.S. individual)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5490981		19960213
APPLICATION INFO.:	US 1994-234602		19940428 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-86358, filed on 6 Jul 1993, now abandoned which is a continuation of Ser. No. US 1992-832994, filed on 10 Feb 1992, now abandoned which is a division of Ser. No. US 1990-619525, filed on 29 Nov 1990, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kim, Kay K. A.
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1362
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

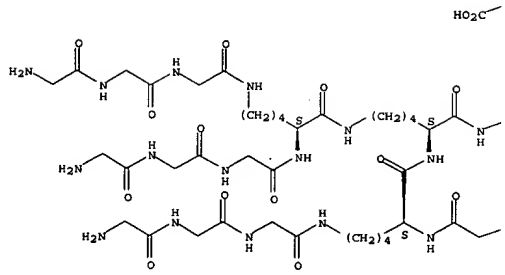
AB Peptides which present an epitope substantially similar to the activation site region epitope of apolipoprotein(a) are provided. Antibodies raised against such peptides bind to apolipoprotein(a). Such antibodies and peptides, as well as peptide constructs for immunization are provided. Also provided are monoclonal antibodies and hybridomas, polyclonal serum, assays, diagnostic systems in kit form, chromatographic methods and materials, and synthetic secondary standards. Therapeutic compositions and methods are also provided.

IT **116925-44-1D**, resin-bound
(carrier core sequence of, apolipoprotein(a) activation-site region-derived peptide construct for antibody prodn. in relation to)
RN 116925-44-1 USPATFULL
CN .beta.-Alanine, N-[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-(N-glycylglycyl)glycyl]-L-lysyl]-L-lysyl]-L-lysyl]- (9CI) (CA INDEX NAME)

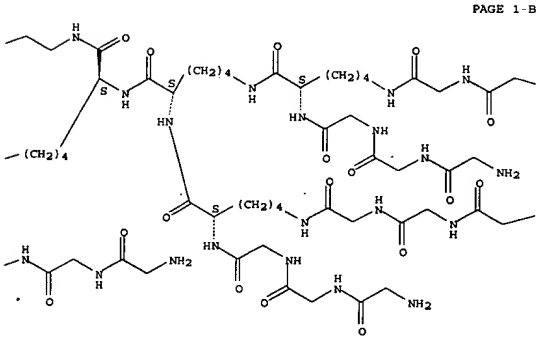
Absolute stereochemistry.

L5 ANSWER 29 OF 37 USPATFULL (Continued)

PAGE 1-A

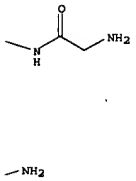


PAGE 1-B



L5 ANSWER 29 OF 37 USPATFULL (Continued)

PAGE 1-C



L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:49205 CAPLUS
DOCUMENT NUMBER: 126:171890
TITLE: Macromolecular recognition: effect of multivalency in the inhibition of binding of yeast mannan to concanavalin A and pea lectins by mannosylated dendrimers
AUTHOR(S): Page, Daniel; Zanini, Diana; Roy, Rene
CORPORATE SOURCE: Dep. of Chemistry, Univ. of Ottawa, Ottawa, ON, K1N 6N5, Can.
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(11), 1949-1961
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis and binding properties of a new family of high affinity .alpha.-D-mannopyranoside **ligands** are described. The synthesis of the new multivalent **ligands** is based on the scaffolding of multiantennary branches of L-lysine residues having electrophilic N-chloroacetylated end groups as core structures. An .alpha.-D-mannopyranoside with p-substituted aryl aglycon ending with a thiol group was prepd. and covalently attached to each of the branches of the dendritic structures. The resulting glycodendrimers with 2, 4, 8, and 16 mannoside residues were tested for their relative inhibitory potency by solid-phase enzyme-linked lectin assays (ELLA) using Me and p-nitrophenyl .alpha.-D-mannopyranosides as stds. Concns. necessary for 50% inhibition (IC50's) of binding of yeast mannan to Jack bean phytohemagglutinin (Canavalia ensiformis, Con A) and to pea lectin (Pisum sativum) were detd. Analogous mannosylated copolyacrylamides were also prepd. for comparison. The IC50 values were also plotted as a function of dendrimer valences. The inhibitions showed that the 16-mer was approx. 600- and 2000-fold more potent than Me .alpha.-D-mannopyranoside, and 66- and 1383-fold more potent than p-nitrophenyl .alpha.-D-mannopyranosides with Con A and pea lectins, resp. Even when these nos. are expressed relative to single mannopyranoside residues per dendrimers, the relative potencies against the arom. mannoside are still 4- and 86-fold better against Con A and pea lectins. These results unequivocally indicate that the optimum inhibitory binding properties of the new mannosylated dendrimers vary with both dendrimer and lectin valences.

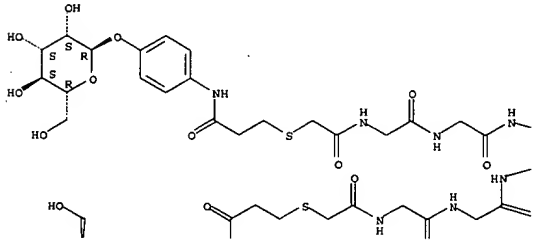
IT **187147-04-2P 187147-06-4P 187284-57-7P 187284-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of mannopyranosyl dendritic glycopeptides and their effect on binding of yeast mannan to Con A and pea lectins)

RN 187147-04-2 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl- (9CI) (CA INDEX NAME)

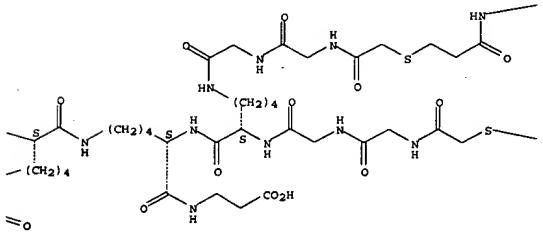
Absolute stereochemistry.

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

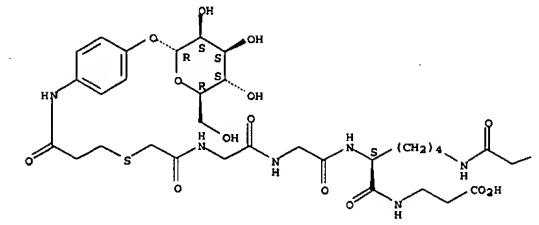


PAGE 1-B

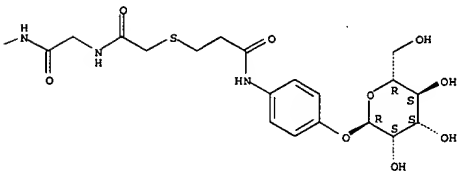


L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

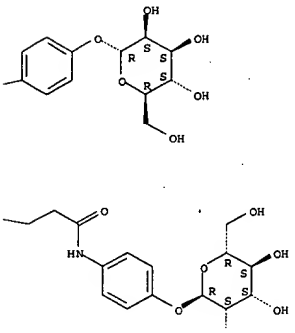


RN 187147-06-4 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



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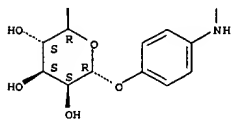


PAGE 2-C

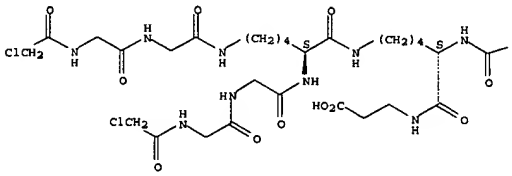
RN 187284-57-7 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 187284-90-8 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[3-[[4-(.alpha.-D-mannopyranosyloxy)phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

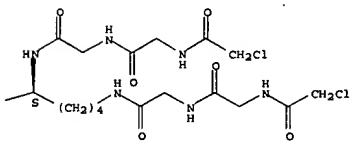
L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **155679-65-5 155679-66-6 187284-53-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of mannopyranosyl dendritic glycopeptides and their effect on binding of yeast mannan to Con A and pea lectins)
RN 155679-65-5 CAPLUS
CN .beta.-Alanine,
N2,N6-bis[N2,N6-bis[N-(chloroacetyl)glycylglycyl]-L-lysyl]-
L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 155679-66-6 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N-
(chloroacetyl)glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C

PAGE 2-B

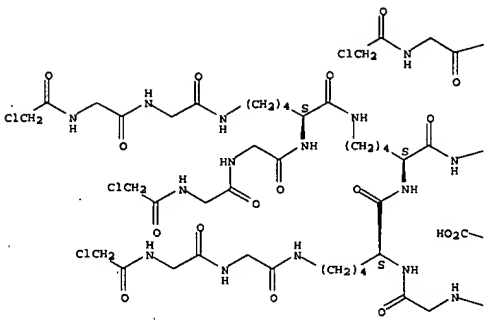
RN 187284-53-3 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-
(chloroacetyl)glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI)
(CA
INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **187147-03-1P 187147-05-3P 187284-72-6P**
187284-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of mannopyranosyl dendritic glycopeptides and their effect on binding of yeast mannan to Con A and pea lectins)
RN 187147-03-1 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N-[[[3-oxo-3-[[4-[(2,3,4,6-tetra-O-acetyl-
.alpha.-D-mannopyranosyl)oxy]phenyl]amino]propyl]thio]acetyl]glycylglycyl]-
L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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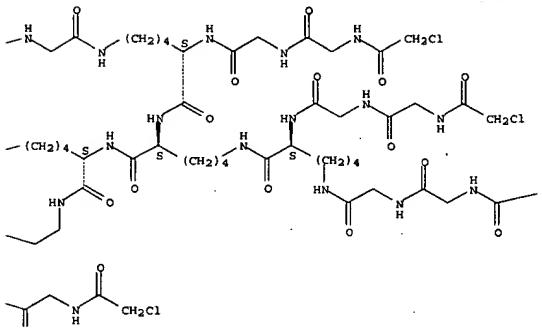
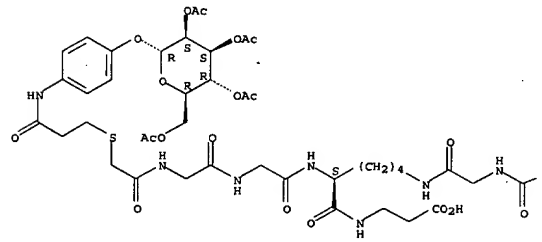


L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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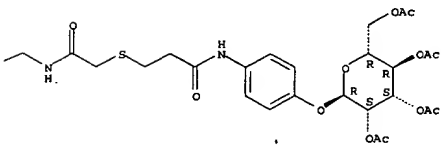
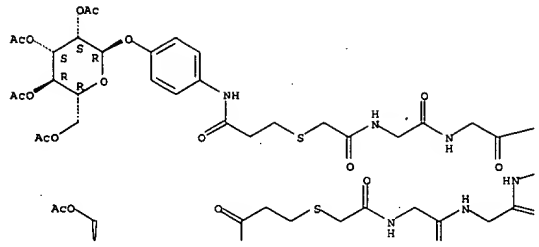


RN 187147-05-3 CAPLUS
CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N-[[[3-oxo-3-[[4-[(2,3,4,6-tetra-O-
acetyl-.alpha.-D-mannopyranosyl)oxy]phenyl]amino]propyl]thio]acetyl]glycyl
glycyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

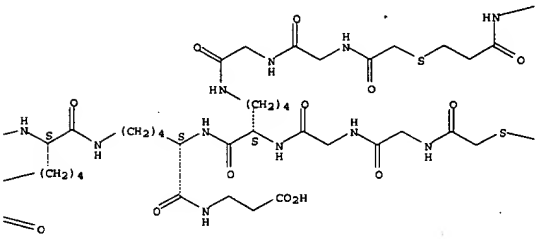
Absolute stereochemistry.

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

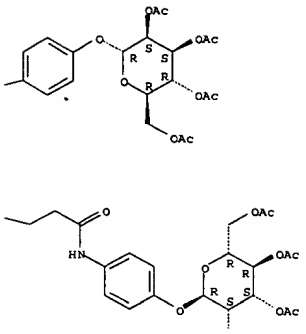
RN 187284-91-9 CAPLUS

CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[3-[[4-[(2,3,4,6-tetra-O-acetyl-.alpha.-D-mannopyranosyl)oxy]phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl-(9CI) (CA INDEX NAME)

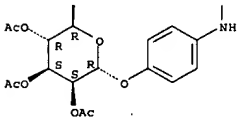
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L5 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



PAGE 2-A



PAGE 2-C

RN 187284-72-6 CAPLUS

CN .beta.-Alanine, N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[[[3-[[4-[(2,3,4,6-tetra-O-acetyl-.alpha.-D-mannopyranosyl)oxy]phenyl]amino]-3-oxopropyl]thio]acetyl]glycylglycyl]-L-lysyl]-L-lysyl]-L-lysyl- (9CI) (CA INDEX NAME)

L5 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:148250 CAPLUS

DOCUMENT NUMBER: 124:197208

TITLE: Thrombus Imaging Using Technetium-99m-Labeled High Potency GPIIb/IIIa Receptor Antagonists. Chemistry and Initial Biological Studies

AUTHOR(S): Pearson, Daniel A.; Lister-James, John; McBride, William J.; Wilson, David M.; Martel, Lawrence J.; Civitello, Edgar R.; Dean, Richard T.

CORPORATE SOURCE: Department of Chemistry, Diatech Inc., Londonderry, NH, 03053, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(7), 1372-82
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Platelet-specific compds. which are radiolabeled with .gamma.-emitting radionuclides may be particularly useful for the noninvasive in vivo detection of thrombi. The synthesis of peptides which are potent inhibitors of platelet aggregation and which contain a **chelator** for the radionuclide technetium-99m are described. The target compds. were designed such that stable, oxotechnetium(V) species could be prepd. where the site of metal coordination was well defined. A strategy was employed where the pharmacophore -Arg-Gly-Asp-(RGD), or RGD mimetic, was constrained in a ring which was formed by the S-alkylation of a cysteine residue with an N-terminal chloroacetyl group. Binding affinities were enhanced by the replacement of arginine with the arginine mimetics S-(3-aminopropyl]cysteine and 4-amidinophenylalanine. Further enhancements could be obtained by the synthesis of oligomers which contained two or more rings contg. receptor binding regions. The increase in binding affinity seen was more than that expected from a simple stoichiometric increase of pharmacophore. The most potent compds. described had IC50s of approx. 0.03 .mu.M for the inhibition of human platelet aggregation, which is comparable to the most potent fibrinogen antagonists reported to date. Two of the more potent peptides (P280 and P748) were labeled with technetium-99m and assessed in a canine thrombosis model. The 99mTc complexes of the peptides prepd. in this work should hold promise to serve as useful thrombus imaging agents due to their high receptor binding affinity, ease in prepn., and expected rapid pharmacokinetics.

IT **173963-88-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

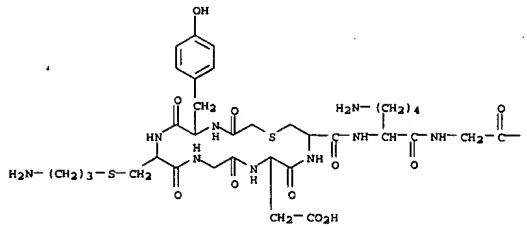
(SPECT of thrombus using 99mTc-labeled GPIIb/IIIa receptor antagonists: chem. and initial biol. studies)

RN 173963-88-7 CAPLUS

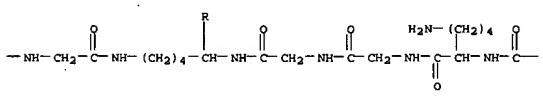
CN .beta.-Alaninamide, N6-[N2,N6-bis[N-(mercaptoacetyl)-D-tyrosyl-S-(3-aminopropyl)-L-cysteinylglycyl-L-.alpha.-asparty-L-cysteinyl-L-lysylglycylglycyl]-L-lysyl]-L-lysylglycyl-L-cysteinyl-, cyclic (1.fwdarw.5),(1'.fwdarw.5')-bis(thioether) (9CI) (CA INDEX NAME)

L5 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

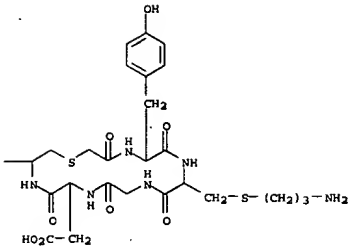


PAGE 1-B

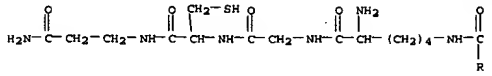


L5 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



PAGE 2-A



L5 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:331937 CAPLUS
DOCUMENT NUMBER: 125:79699
TITLE: Synthetic collagen-like domain derived from the macrophage scavenger receptor binds acetylated low-density lipoprotein in vitro
AUTHOR(S): Tanaka, Toshiki; Nishikawa, Akemi; Tanaka, Yuji; Nakamura, Haruki; Kodama, Tatuhiko; Imanishi, Takeshi; Doi, Takefumi
CORPORATE SOURCE: Protein Eng. Res. Inst., Osaka, 565, Japan
SOURCE: Protein Engineering (1996), 9(3), 307-313
CODEN: PRENE9; ISSN: 0269-2139
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The bovine macrophage scavenger receptor is a 70 kDa membrane protein that is trimerized on the macrophage cell surface. The receptor binds modified low-d. lipoproteins (LDL). The core binding site is located within 22 residues at the C-terminus of the collagen-like domain of the receptor. The Lys residue at position 337 plays an important role in ligand binding. Here, the collagen-like domain was constructed using a peptide architecture technique, in which three collagenous peptide chains were crosslinked at their N-termini. The crosslinked peptide showed a collagen-like structure by CD and existed mainly in a monomeric triple helical form as shown by gel exclusion chromatog. The triple-stranded peptide was demonstrated to bind acetylated LDL (Ac-LDL) using regions derived. from Gly323 to Lys-340 of the natural bovine scavenger receptor. However, a single-stranded peptide with the same amino acid sequence did not bind Ac-LDL. Furthermore, a triple-stranded mutated peptide in which Lys corresponding to Lys337 in the mother protein was substituted with Ala showed no binding activity to Ac-LDL. These results, taken together, indicate that the synthetic collagen-like peptide has a similar structure to the binding site in the scavenger receptor, and support the view that the collagen-like domain of the natural scavenger receptor recognizes Ac-LDL.

IT **178668-70-7P 178668-71-8P 178668-72-9P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthetic collagen-like domain derived from the macrophage scavenger receptor binds acetylated low-d. lipoprotein in vitro)

RN 178668-70-7 CAPLUS
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-glutaminyl-L-lysylglycyl-L-glutaminyl-L-lysylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''')(1'.fwdarw.1'''')(1''.fwdarw.1''''')-tris(thioether) with N2,N6-bis[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysylglycyl-L-tyrosinamide (9CI) (CA INDEX NAME)

L5 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 178668-71-8 CAPLUS
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-glutaminyl-L-lysylglycyl-L-glutaminyl-L-lysylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''')(1'.fwdarw.1'''')(1''.fwdarw.1''''')-tris(thioether) with N2,N6-bis[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-6-aminohexanoyl-N6-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-L-lysyl-L-tyrosinamide (9CI) (CA INDEX NAME)

L5 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 178668-72-9 CAPLUS
CN Glycinamide, L-cysteinylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-lysyl-L-threonylglycyl-L-lysyl-L-prolylglycyl-L-leucyl-L-asparaginylglycyl-L-glutaminyl-L-lysylglycyl-L-glutaminyl-L-alanylglycyl-L-.alpha.-glutamyl-L-lysylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-, (1.fwdarw.1''')(1'.fwdarw.1'''')(1''.fwdarw.1''''')-tris(thioether) with N2,N6-bis[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-N6-[N-(mercaptoacetyl)-.beta.-alanyl-.beta.-alanyl]-L-lysyl-6-aminohexanoyl-N6-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-L-lysyl-L-tyrosinamide (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:155533 CAPLUS
DOCUMENT NUMBER: 124:212160
TITLE: Monoamine, diamide, thiol-containing metal **chelating** agents
INVENTOR(S): Mcbride, William; Dean, Richard T.
PATENT ASSIGNEE(S): Diatech, Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 44
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533497	A1	19951214	WO 1995-US6914	19950601
W: AU, BR, CA, CN, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2191951	AA	19951214	CA 1995-2191951	19950601
AU 9526944	A1	19960104	AU 1995-26944	19950601
AU 707040	B2	19990701		
BR 9507917	A	19970812	BR 1995-7917	19950601
CN 1158090	A	19970827	CN 1995-194356	19950601
CN 1093424	B	20021030		
EP 804252	A2	19971105	EP 1995-922159	19950601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 10501531	T2	19980210	JP 1995-501181	19950601
ZA 9504548	A	19960315	ZA 1995-4548	19950602
PRIORITY APPLN. INFO.:			US 1994-253973 A	19940603
			WO 1995-US6914 W	19950601

OTHER SOURCE(S): MARPAT 124:212160

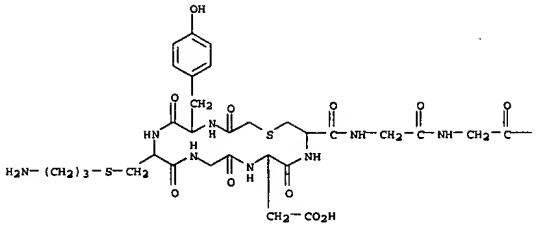
AB The invention relates to reagents useful in prepg. radiolabeled diagnostic and therapeutic agents (radiopharmaceuticals). Specifically, the invention provides such reagents that are monoamine, diamide, and thiol-contg. metal **chelators**. Methods of making such reagents, and methods of using the radiopharmaceuticals produced therefrom are also provided.

IT **174350-40-4DP**, technetium 99 complexes **174350-58-4DP**, technetium 99 complexes
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(monoamine, diamide, and thiol-contg. metal **chelating** agents as radiopharmaceuticals)

RN 174350-40-4 CAPLUS
CN L-Cysteinamide, N6-(N2,N6-bis[N-(mercaptoacetyl)-D-tyrosyl-S-(3-aminopropyl)-L-cysteinylglycyl-L-.alpha.-aspartyl-L-cysteinylglycylglycyl-L-cysteinylglycylglycyl]-L-lysyl]-L-lysylglycyl-, cyclic (1.fwdarw.5),(1'.fwdarw.5')-bis(thioether) (9CI) (CA INDEX NAME)

L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



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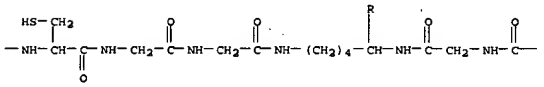
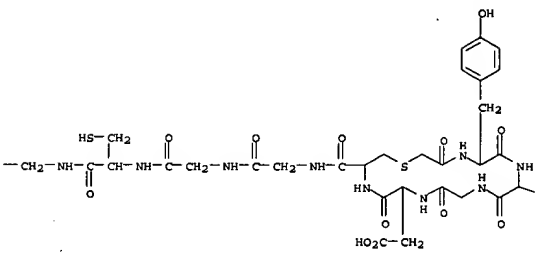


L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C



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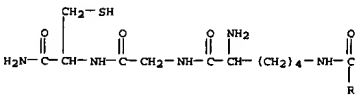
PAGE 2-A



RN 174350-58-4 CAPLUS
CN L-Cysteinamide, N6-[N2,N6-bis[N-[N-[1-[N2-(N-acetyl-L-threonyl)-L-lysyl]-L-prolyl]glycyl]glycyl]-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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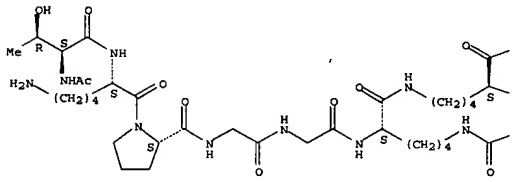

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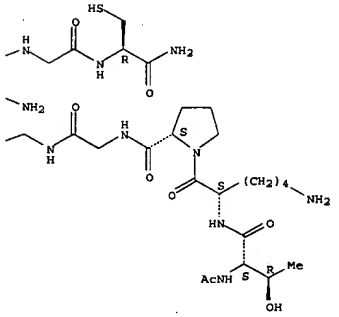

IT **174350-40-4P 174350-58-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monoamine, diamide, and thiol-contg. metal **chelating** agents as radiopharmaceuticals)

RN 174350-40-4 CAPLUS
CN L-Cysteinamide, N6-[N2,N6-bis[N-(mercaptoacetyl)-D-tyrosyl-S-(3-aminopropyl)-L-cysteinylglycyl-L-.alpha.-aspartyl-L-cysteinylglycylglycyl-L-cysteinylglycylglycyl]-L-lysyl]-L-lysylglycyl-, cyclic (1.fwdarw.5),(1'.fwdarw.5')-bis(thioether) (9CI) (CA INDEX NAME)

L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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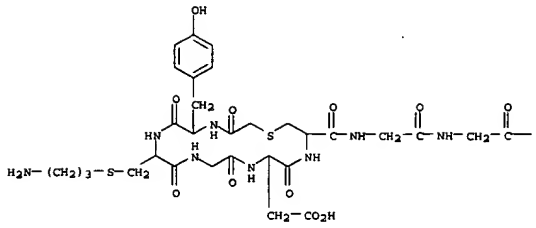
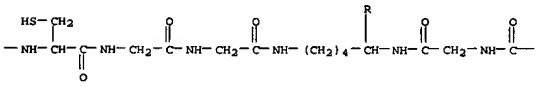


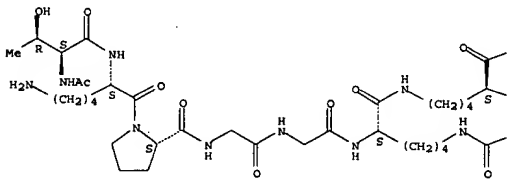
PAGE 1-B



L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
prolyl]glycyl]glycyl]-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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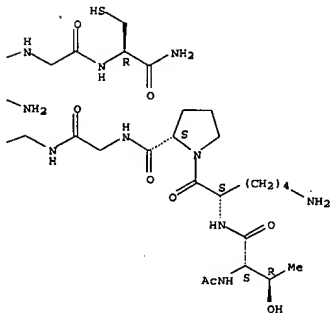

L5 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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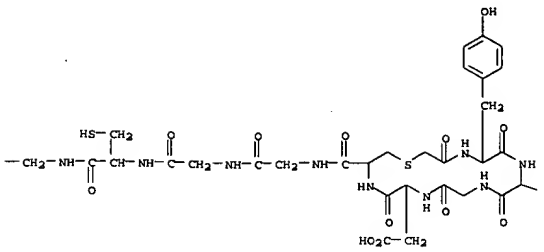

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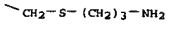

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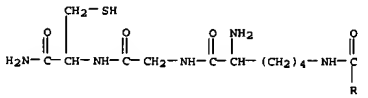


RN 174350-58-4 CAPLUS
CN L-Cysteinamide,
N6-[N2,N6-bis[N-[N-[1-[N2-(N-acetyl-L-threonyl)-L-lysyl]-L-

L5 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:858801 CAPLUS
DOCUMENT NUMBER: 123:250205
TITLE: Peptide-**chelator** conjugates for diagnostic imaging
INVENTOR(S): Goodbody, Anne; Pollak, Alfred
PATENT ASSIGNEE(S): Resolution Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9522996	A2	19950831	WO 1995-CA106	19950224
WO 9522996	A3	19951012		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5569745	A	19961029	US 1994-202178	19940225
CA 2182670	AA	19950831	CA 1995-2182670	19950224
AU 9518033	A1	19950911	AU 1995-18033	19950224
EP 746340	A1	19961211	EP 1995-909606	19950224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509419	T2	19970922	JP 1995-522045	19950224
US 5679642	A	19971021	US 1996-713484	19960913
US 5866544	A	19990202	US 1997-955263	19971021

PRIORITY APPLN. INFO.: US 1994-202178 19940225
WO 1995-CA106 19950224
US 1996-713484 19960913

OTHER SOURCE(S): MARPAT 123:250205

AB Peptide-**chelator** conjugates are provided that, when labeled with a traceable metal, are useful for diagnostic imaging of sites of inflammation. The peptide component is an antagonist of the naturally occurring tetrapeptide tuftsin, while the **chelator** component serves as a labeling site for metals, in particular radionuclide metals such as 99mTc. Thus, i.m. zymosan-induced inflammation in rats was visualized by scintigraphy with i.v. injected, 99mTc-labeled N,N-dimethylglycyl-Ser-acetamidomethylcysteinyl-Gly-Thr-Gln-Pro-Pro-Arg. The inflamed muscle contained 0.070% of the administered radioactivity per g after 30 min, and the ratio of radioactivity in inflamed vs. uninflamed muscle was 5.0. In the above peptide, N-dimethylglycyl-Ser-acetamidomethylcysteine represents the **chelating** moiety, and Thr-Gln-Pro-Pro-Arg is the tuftsin analog moiety.

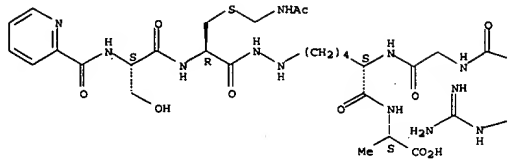
IT **169048-14-0DP, chelates**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide-**chelator** conjugates for diagnostic imaging)

RN 169048-14-0 CAPLUS
CN L-Alanine, N-[6-[2-[S-[(acetylamino)methyl]-N-[N-(2-pyridinylcarbonyl)-L-seryl]-L-cysteinyl]hydrazino]-N-[N-[N2-[1-[1-[N2-(N-formyl-L-threonyl)-L-lysyl]-L-prolyl]-L-prolyl]-L-arginyl]glycyl]-L-norleucyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



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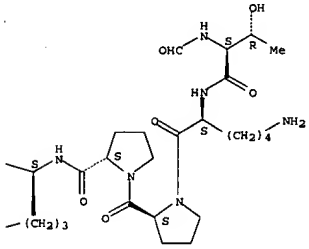

L5 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
diagnostics)
RN 160818-36-0 CAPLUS
CN Glycine, N-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N6-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]-N2-[N-[N-[N-[[[[6-amino-2-[[N-(N-acetyl-L-leucyl)-L-leucyl]amino]hexylidene]amino]oxy]acetyl]glycyl]glycyl]glycyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl]-L-lysyl]-, stereoisomer (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L5 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:340859 CAPLUS
DOCUMENT NUMBER: 122:133873
TITLE: Polyoxime compounds, their preparation, and their use for cell imaging and in vaccines
INVENTOR(S): Rose, Keith; Offord, Robin E.
PATENT ASSIGNEE(S): Switz.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9425071	A1	19941110	WO 1994-IB93	19940505
W: AT, AU, BR, CA, CH, CN, DE, DK, ES, FI, GB, HU, JP, LU, NL, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
US 6001364	A	19991214	US 1993-105904	19930831
US 6174530	B1	20010116	US 1993-114877	19930831
EP 697891	A1	19960228	EP 1994-913192	19940505
EP 697891	B1	20000329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08510210	T2	19961029	JP 1994-524080	19940505
AU 686153	B2	19980205	AU 1994-65438	19940505
AT 191148	E	20000415	AT 1994-913192	19940505
US 6217873	B1	20010417	US 1996-537928	19960105

PRIORITY APPLN. INFO.: US 1993-57594 A 19930505
US 1993-105904 A 19930831
US 1993-114877 A 19930831
WO 1994-IB93 W 19940505

AB Provided by this invention are essentially homogeneous, defined compns. of matter and hetero-polyoximes of defined structure comprising a baseplate structure having a plurality of oxime bonds, wherein each oxime bond links a specifically active mol. (e.g., a bioactive peptide)to the baseplate. Also provided are novel baseplates having a plurality of oxime-forming complementary reactive groups and novel specifically reactive mols. having a oxime-forming complementary reactive group. Addnl., methods are described for prepg. these novel compns. by chemoselectively ligating via oxime bond formation a complementary orthogonal reactive group on the baseplate to a complementary reactive orthogonal group on a specifically active mol. Pharmaceutical compns. contg. these polyoximes and methods of inducing an immune response or of imaging cells with the polyoximes are claimed. Baseplate structures contg. aminooxyacetyl (AOA) or glyoxylyl (GLX) reactive groups and peptides with complementary reactivity, i.e., peptides contg. GLX or AOA termini, were prepd. The polyoximes were formed by reaction of the baseplates and peptide derivs.

IT **160818-36-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide-contg. polyoximes for use as pharmaceuticals and

L5 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:264827 CAPLUS
DOCUMENT NUMBER: 120:264827
TITLE: Metal **chelating** peptide
INVENTOR(S): Gariepy, Jean
PATENT ASSIGNEE(S): Ontario Cancer Institute, Can.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323425	A1	19931125	WO 1993-CA207	19930507
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2094785	AA	19931109	CA 1993-2094785	19930423

PRIORITY APPLN. INFO.: US 1992-880691 19920508

AB A branched peptide carrying a no. of **chelating** groups (metal **chelating** peptide (MCP)) has a C-terminus that may be structured to provide a variety of means for unidirectional coupling to a targeting agent such as an antibody. The no. of metal **chelating** sites may be quite large (in excess of 16). The MCP can be used to deliver a concd. radionuclide mass to a target cell by coupling the MCP to a targeting agent. A branched peptide with a C-terminal .beta.-alanine was synthesized by t-Boc chem. with branches introduced by coupling to .epsilon.-amino groups of lysine and EDTA moieties added as the t-Bu protected deriv. Methods for coupling the protein to antibodies via the carbohydrate moiety using a maleimide are discussed.

IT **154531-07-4**
RL: BIOL (Biological study)
(as metal **chelating** peptide for targetted delivery of metal radionuclides)
RN 154531-07-4 CAPLUS
CN .beta.-Alanine, N-[N-[N-[N-[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[N-[2-[bis(carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl]glycyl]-D-lysyl]-D-lysyl]-D-lysyl]-D-tyrosyl]-D-alanyl]-D-cysteinyl]- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **154531-08-5D**, derivs. with coupling reagents
RL: BIOL (Biological study)
(as metal **chelating** peptide for targetted delivery of metal radionuclides, conjugation with targetting moieties)
RN 154531-08-5 CAPLUS
CN .beta.-Alanine, N-[N2-[N-[N-[N-[N2,N6-bis[N2,N6-bis[N2,N6-bis[N-[N-[2-[bis(carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl]glycyl]-D-lysyl]-D-lysyl]-D-lysyl]-D-tyrosyl]-D-alanyl]-D-lysyl]- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as metal **chelating** peptide for targetted delivery of metal radionuclides

L5 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:263042 CAPLUS
DOCUMENT NUMBER: 120:263042
TITLE: DNA transporter system and its use for genetic transformation and gene therapy
INVENTOR(S): Smith, Louis C.; Woo, Savio L. C.
PATENT ASSIGNEE(S): Baylor College of Medicine, USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318759	A1	19930930	WO 1993-US2725	19930319
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GR, HU, JP, LU, NL, NO, PL, RO, RU, SE, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, NL				
AU 9339668	A1	19931021	AU 1993-39668	19930319
AU 671450	B2	19960829		
EP 632722	A1	19950111	EP 1993-909155	19930319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07505283	T2	19950615	JP 1993-516812	19930319
US 6033884	A	20000307	US 1993-167641	19931214
US 5994109	A	19991130	US 1995-460890	19950603
US 6150168	A	20001121	US 1995-460971	19950605
US 6177554	B1	20010123	US 1995-462040	19950605

PRIORITY APPLN. INFO.: US 1992-855389 A 19920320
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AB A DNA transporter system capable of non-covalently binding to DNA and facilitating the insertion of the DNA into a cell is described. The DNA transporter system includes a binding complex which non-covalently binds the DNA. The binding complex includes a mol. that is capable of non-covalently binding to the DNA and being covalently linked to a surface **ligand** and to a nuclear **ligand**. The surface **ligand** is capable of binding to a cell surface receptor and the nuclear **ligand** is capable of recognizing and transporting the transporter system through the nuclear membrane. A plurality of these binding complexes are attached to the DNA to facilitate the transport of the DNA into the cell. Addnl., a third binding complex which includes a virus can also be non-covalently linked to the DNA. The virus facilitates the movement of the DNA through the cytoplasm and into the nucleus. Also described are a variety of structures which can be used as part of the transporter system as well as methods of using the transporter system to introduce DNA into cells. A modified oligonucleotide designed to target SV40 vectors to specific cells and then to the nucleus of the targeted cell was prepd. The oligonucleotide, which was linked to an intercalating dye, comprised thymine and 5-Me cytosine. Attached via linkers were **ligands** for cell surface receptors and nuclear localization peptides.

L5 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **154531-22-3P**
RL: PREP (Preparation)
(prepn. of, for use in DNA transporter system for genetic transformation and gene therapy)
RN 154531-22-3 CAPLUS
CN L-Lysine, N-[1-oxo-3-[[2-[[L-tyrosyl-N6-[26-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-14-[[[6-[[2-[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]-1,1-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]ethyl]amino]-6-oxohexyl]amino]carbonyl]-25,25-bis[[(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)oxy]methyl]-1,4,12,16,23-pentaoxo-8,9-dithia-5,13,17,24-tetraazahexacos-1-yl]-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl]amino]ethyl]dithio]propyl]-L-tyrosyl-N6-(3-carboxy-1-oxopropyl)-L-lysyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-L-lysyl-L-alanyl-, (11.fwdarw.1')-amide with glycyl-L-tyrosyl-L-seryl-L-threonyl-L-prolyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-L-prolinamide (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***